EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	912	((544/258) or (544/162)).CCLS.	USPAT; DERWENT	OR	OFF	2006/12/28 15:45

28/12/2006,10595126.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTASXY1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 7	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 8	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 9	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 10	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 11	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 12	OCT 19	E-mail format enhanced
NEWS 13	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 14	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 15	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 16	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 17	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 18	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 19	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 20	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 21	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 22	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 23	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 24	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 25	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 26	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 27	DEC 18	CA/CAplus patent kind codes updated
NEWS 28	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 29	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 30	DEC 27	CA/CAplus enhanced with more pre-1907 records

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

```
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8
 NEWS X25       X.25 communication option no longer available
 NEWS PRICE     STN 2007 Prices

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FILE 'HOME' ENTERED AT 10:52:20 ON 28 DEC 2006

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

FILE 'REGISTRY' ENTERED AT 10:52:31 ON 28 DEC 2006
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STRUCTURE FILE UPDATES:   27 DEC 2006  HIGHEST RN 916420-05-8
DICTIONARY FILE UPDATES:  27 DEC 2006  HIGHEST RN 916420-05-8

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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Uploading C:\Program Files\Stnexp\Queries\10595126.str
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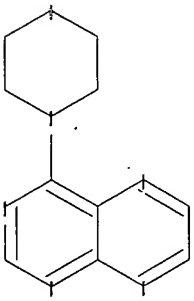

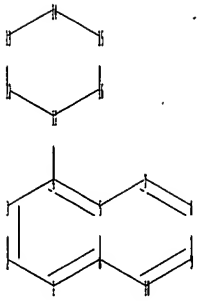

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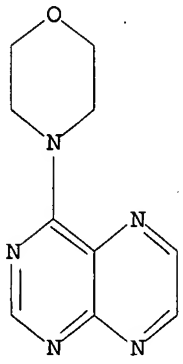
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
4-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
4-11 11-12 11-16 12-13 13-14 14-15 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

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100.0% PROCESSED       10 ITERATIONS                                 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           11 TO      389
PROJECTED ANSWERS:               6 TO      266

L2            6 SEA SSS SAM L1

=> s l1 full
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FULL SCREEN SEARCH COMPLETED -      221 TO ITERATE

100.0% PROCESSED      221 ITERATIONS                               148 ANSWERS
SEARCH TIME: 00.00.01

L3          148 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'HCAPLUS' ENTERED AT 10:52:59 ON 28 DEC 2006
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FILE LAST UPDATED: 27 Dec 2006  (20061227/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4            31 L3

=> d ed abs ibib hitstr 1-31
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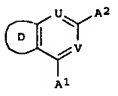
L4 ANSWER 1 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 27 May 2005
GI



I

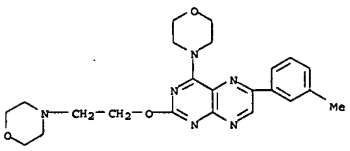
AB Title compds. I [U, V = N, (un)substituted C; D = 5-9 membered aryl, 3-9 membered cycloalkyl, etc.; one of A1, A2 = XR'L'R'' and the other group, e.g., is morpholino, etc.; X = O, SO0-2, etc.; R' = (un)substituted cyclyl, etc.; L' = O, SO0-2, etc.; R'' = H, alkyl, cycloalkyl, etc.] are prepared For instance, N-(6,7-Dimethoxy-2-morpholin-4-ylquinazolin-4-yl)-N'-(3-methylbenzylidene)hydrazine (II) is prepared in 3 steps from 2,4-dichloro-6,7-dimethoxyquinazoline, hydrazine, m-tolualdehyde and morpholine. II has IC50 = 98.8 nM for IL-12. I are useful for the treatment of inflammatory and immune disorders.

ACCESSION NUMBER: 2005:451204 HCAPLUS
DOCUMENT NUMBER: 142:482056
TITLE: Preparation of substituted quinazolines and related derivatives as inhibitors of IL-12
INVENTOR(S): Ono, Mitsunori; Sun, Lijun; Wada, Yumiko; Przewloka, Teresa; Li, Hao; Demko, Zachary; Chimmanamada, Dinesh
PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046698	A1	20050526	WO 2004-US37463	20041110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004289303	A1	20050526	AU 2004-289303	20041110
CA 2545340	A1	20050526	CA 2004-2545340	20041110
US 2005250770	A1	20051110	US 2004-985627	20041110
EP 1687002	A1	20060809	EP 2004-810660	20041110

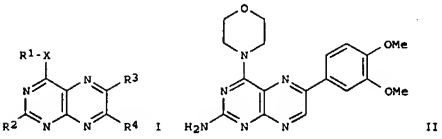
L4 ANSWER 1 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
PRIORITY APPLN. INFO.: US 2003-518788P P 20031110
WO 2004-US37463 W 20041110

OTHER SOURCE(S): MARPAT 142:482056
IT 852067-68-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinazolines and related derivs. as inhibitors of IL-12)
RN 852067-68-6 HCAPLUS
CN Pteridine, 6-(3-methylphenyl)-4-(4-morpholinyl)-2-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Mar 2005
GI



I II

AB Pteridine derivs. of formula I [X = O, SOm; m = 0-2; R1 = alkyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, etc.; R2 = amino, acylamino, carbamoyl, ureido, etc.; R3, R4 = H, halo, alkyl, carboxyalkyl, arylamino, etc.; R3R4 = alkylene, etc.] are prepared for the manufacture of a medicament for the prevention or treatment of septic shock and TNF-α related disorders. Thus, II was prepared, and had IC50 of 0.4 μM against TNF-α.

ACCESSION NUMBER: 2005:259882 HCAPLUS
DOCUMENT NUMBER: 142:336393
TITLE: Preparation of pteridine derivatives for the treatment of septic shock and TNF-α-related diseases.
INVENTOR(S): Waer, Mark Jozef Albert; Herdewijn, Piet Andre Maurits Maria; De Jonghe, Steven Cesar Alfons; Marchand, Arnaud Didier Marie; Yuan, Lin; El Hassane, Sefrioui
PATENT ASSIGNEE(S): 4 Aza Bioscience Nv, Belg.
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005025574	A2	20050324	WO 2004-EP10198	20040913
WO 2005025574	A3	20050630		
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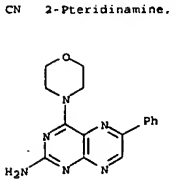
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
SN, TD, TG

GB 2405793	A	20050316	GB 2003-21384	20030912
GB 2413324	A	20051026	GB 2004-8955	20040422
AU 2004271721	A1	20050324	AU 2004-271721	20040913
CA 2534549	A1	20050324	CA 2004-2534549	20040913
EP 1663244	A2	20060607	EP 2004-765120	20040913

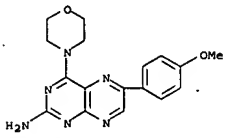
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK,
PRIORITY APPLN. INFO.: GB 2003-21384 A 20030912
GB 2004-8955 A 20040422
WO 2004-EP10198 W 20040913

OTHER SOURCE(S): MARPAT 142:336393
IT 247913-58-2P 247913-59-3P 278800-06-9P 278800-07-0P 278800-18-3P 278800-23-0P 847756-41-6P 847756-42-7P 847756-43-8P 847756-44-9P 847756-45-0P 847756-46-1P 847756-47-2P 847756-48-3P 847756-50-7P 847756-51-8P 847756-52-9P 847756-53-0P 847756-54-1P 847756-55-2P 847756-56-3P 847756-57-4P 847756-58-5P 847756-59-6P 847756-60-9P 847756-61-0P 847756-62-1P 847756-63-2P 847756-64-3P 847756-65-4P 847756-66-5P 847756-68-7P 847756-69-8P 847756-70-1P 847756-71-2P 847756-72-3P 847756-73-4P 847756-74-5P 847756-75-6P 847756-76-7P 848415-15-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pteridine derivs. for treatment of septic shock and TNF-α-related diseases)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)



RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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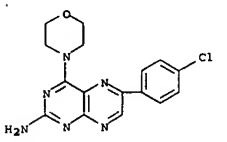
CN 2-Pteridinamine, 6-(4-chlorophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



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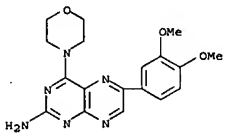
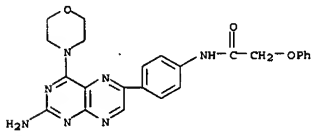
CN 2-Pteridinamine, 6-(3,4-dimethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

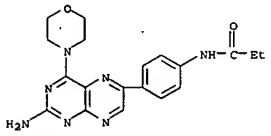


RN 278800-18-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

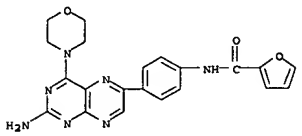
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



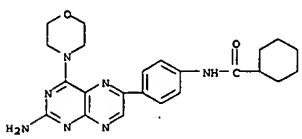
RN 847756-43-8 HCAPLUS
CN Propanamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



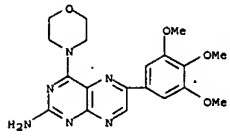
RN 847756-44-9 HCAPLUS
CN 2-Furancarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 847756-45-0 HCAPLUS
CN Cyclohexanecarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 278800-23-0 HCAPLUS
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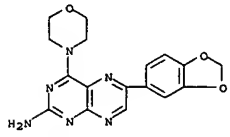
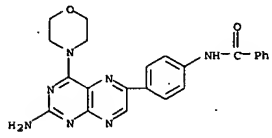


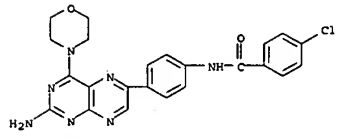
RN 847756-41-6 HCAPLUS
CN Benzamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



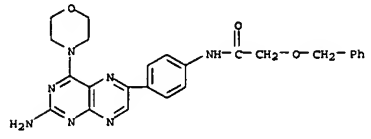
RN 847756-42-7 HCAPLUS
CN Acetamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-2-phenoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

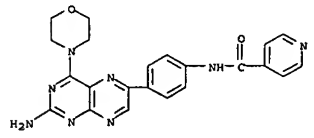
RN 847756-46-1 HCAPLUS
CN Benzamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 847756-47-2 HCAPLUS
CN Acetamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

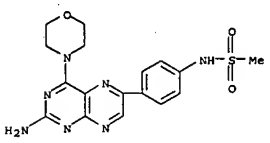


RN 847756-48-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

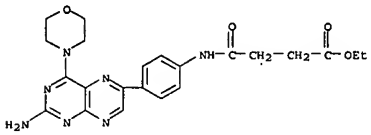


RN 847756-50-7 HCAPLUS
CN Methanesulfonamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

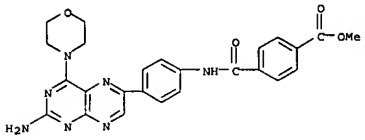
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-51-8 HCAPLUS
CN Butanoic acid, 4-[[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

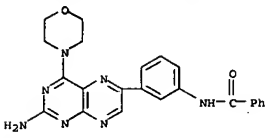


RN 847756-52-9 HCAPLUS
CN Benzoic acid, 4-[[[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

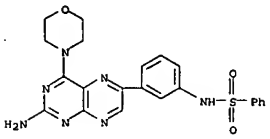


RN 847756-53-0 HCAPLUS
CN Benzamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

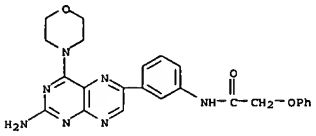
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-54-1 HCAPLUS
CN Benzenesulfonamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

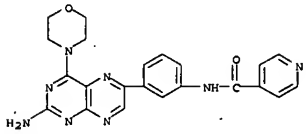


RN 847756-55-2 HCAPLUS
CN Acetamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-2-phenoxy- (9CI) (CA INDEX NAME)

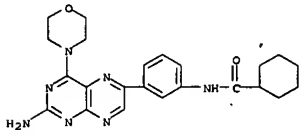


RN 847756-56-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

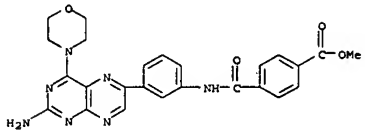
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-57-4 HCAPLUS
CN Cyclohexanecarboxamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

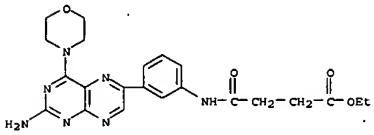


RN 847756-58-5 HCAPLUS
CN Benzoic acid, 4-[[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

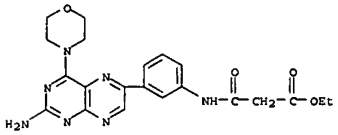


RN 847756-59-6 HCAPLUS
CN Butanoic acid, 4-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

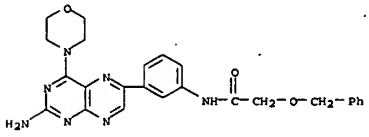
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-60-9 HCAPLUS
CN Propanoic acid, 3-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

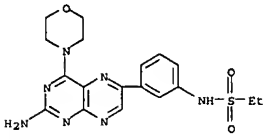


RN 847756-61-0 HCAPLUS
CN Acetamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



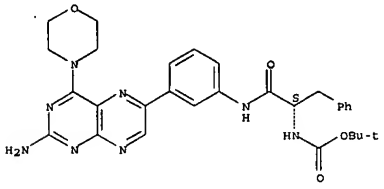
RN 847756-62-1 HCAPLUS
CN Ethanesulfonamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-63-2 HCAPLUS
CN Carbamic acid, [(1S)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

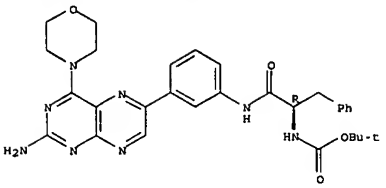
Absolute stereochemistry.



RN 847756-64-3 HCAPLUS
CN Carbamic acid, [(1R)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

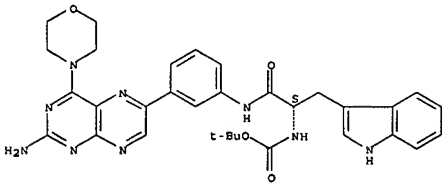
Absolute stereochemistry.

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-65-4 HCAPLUS
CN Carbamic acid, [(1S)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

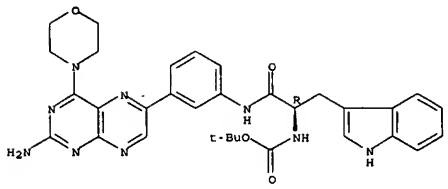
Absolute stereochemistry.



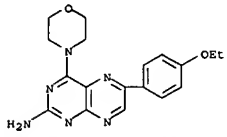
RN 847756-66-5 HCAPLUS
CN Carbamic acid, [(1R)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

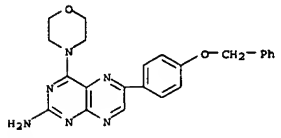
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-68-7 HCAPLUS
CN 2-Pteridinamine, 6-(4-ethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

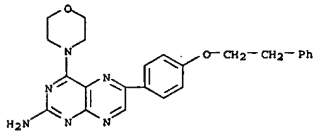


RN 847756-69-8 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-[4-(phenylmethoxy)phenyl]- (9CI) (CA
INDEX NAME)

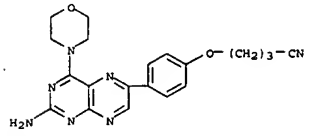


RN 847756-70-1 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-[4-(2-phenylethoxy)phenyl]- (9CI) (CA INDEX NAME)

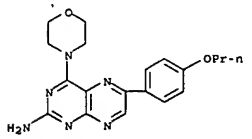
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



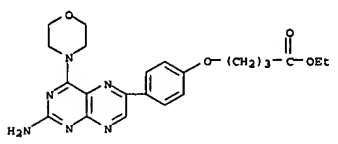
RN 847756-71-2 HCAPLUS
CN Butanenitrile, 4-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 847756-72-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(4-propoxyphenyl)- (9CI) (CA INDEX NAME)

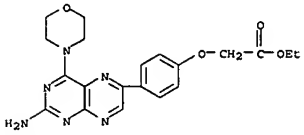


RN 847756-73-4 HCAPLUS
CN Butanoic acid, 4-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

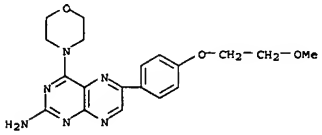


L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

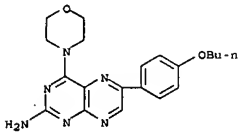
RN 847756-74-5 HCAPLUS
CN Acetic acid, [4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 847756-75-6 HCAPLUS
CN 2-Pteridinamine, 6-[4-(2-methoxyethoxy)phenyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

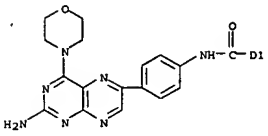


RN 847756-76-7 HCAPLUS
CN 2-Pteridinamine, 6-(4-butoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



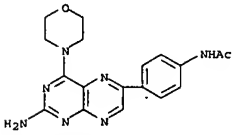
RN 848415-15-6 HCAPLUS
CN Naphthalenecarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-5-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



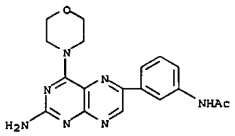
IT 847756-37-0P 847756-38-1P 847756-39-2P
847756-40-5P 847756-67-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pteridine derivs. for treatment of septic shock and TNF-α-related diseases)

RN 847756-37-0 HCAPLUS
CN Acetamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

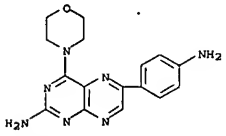


RN 847756-38-1 HCAPLUS
CN Acetamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

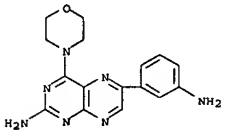
L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



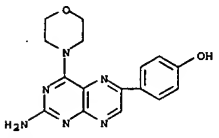
RN 847756-39-2 HCAPLUS
CN 2-Pteridinamine, 6-(4-aminophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 847756-40-5 HCAPLUS
CN 2-Pteridinamine, 6-(3-aminophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

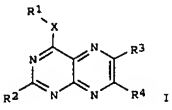


RN 847756-67-6 HCAPLUS
CN Phenol, 4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Mar 2005
GI



I

AB This invention relates to the use of a group of pteridine derivs. I (X = O, or S(O)m wherein m is an integer from 0 to 2, or a substituted amine; R1 = alkyl, alkynyl, cycloalkyl, aryl heterocycle, halogen, alkoxy etc.; R2 = amino, acylamino, thioacylamino, carbamoyl, thiocarbamoyl, ureido, thioredio, sulfon-amido, hydroxylamino, alkoxyamino, thioalkylamino, mercaptoamino, hydrazino, alkylhydrazino, aryl, heterocycle, etc.; R3, R4 = H, halogen, alkyl, alkenyl, alkynyl, alkyl, carboxy, acetoxy, alkoxy, oxyheterocyclic, etc.) their pharmaceutically acceptable salts, N-oxides, solvates, dihydro- and tetrahydro derivs. and enantiomers, for the manufacture of a medicament for the prevention or treatment of TNF-α related disorders. Thus, 2-amino-4-isopropoxypteridine was cooled in trifluoroacetic acid and treated with 35% H2O2 to give 2-amino-4-isopropoxypteridine-N8-oxide which had a IC50 value of 4.0 μM against TNF-α. The conditions treated may be septic or endotoxic shock, toxic effects of radiotherapy, TNF-α or chemotherapeutic agents, or cachexia.

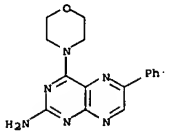
ACCESSION NUMBER: 2005:228920 HCAPLUS
DOCUMENT NUMBER: 142:297927
TITLE: Pteridine derivatives for treating TNF-alpha related disorders
INVENTOR(S): Herdewijn, Piet; Waer, Mark; De Jonghe, Steven Cesar Alfons; Yuan, Lin; El Hassane, Sefrioui
PATENT ASSIGNEE(S): 4 AZA Bioscience NV, Belg.
SOURCE: Brit. UK Pat. Appl., 72 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2405793	A	20050316	GB 2003-21384	20030912
AU 2004271721	A1	20050324	AU 2004-271721	20040913
CA 2534549	A1	20050324	CA 2004-2534549	20040913
WO 2005025574	A2	20050324	WO 2004-EP10198	20040913
WO 2005025574	A3	20050630		

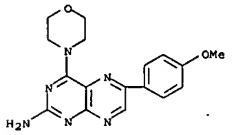
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

L4 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1663244 A2 20060607 EP 2004-765120 20040913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
PRIORITY APPLN. INFO.: GB 2003-21384 A 20030912
GB 2004-8955 A 20040422
WO 2004-EP10198 W 20040913

OTHER SOURCE(S): MARPAT 142:297927
IT 247913-58-2P 247913-59-3P 278800-06-9P 278800-07-0P 278800-18-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pteridine derivs. for treating TNF-alpha related disorders)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

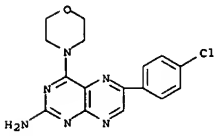


RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

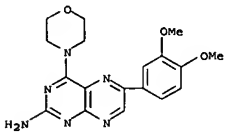


L4 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

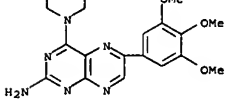
RN 278800-06-9 HCAPLUS
CN 2-Pteridinamine, 6-(4-chlorophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 278800-07-0 HCAPLUS
CN 2-Pteridinamine, 6-(3,4-dimethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

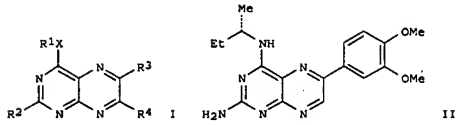


RN 278800-18-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
GI



I II

AB This invention relates to a group of trisubstituted and tetrasubstituted pteridine derivs. I [X = O, S(O)m, NZ; m = 0-2; Z = H, OH, R1 or NZ = heterocyclic group; R1 = (un)substituted C1-7 alkyl, C2-7 alkenyl, C2-7 alkynyl, C3-10 cycloalkyl, C3-10 cycloalkenyl, aryl, alkylaryl, arylalkyl, heterocyclyl, heterocycloalkyl, etc.; R2 = amino, acylamino, thioacylamino, carbamoyl, thiocarbamoyl, ureido, thioureido, sulfonamido, hydroxylamino, alkoxyamino, thioalkylamino, hydrazino, etc.; R3 = F, Cl, Br, iodo, any group R1; R4 = H, halo, any group R1], their pharmaceutically acceptable salts, N-oxides, solvates, dihydro and tetrahydro derivs. and enantiomers, possessing unexpectedly desirable pharmaceutical properties, in particular which are highly active immunosuppressive agents, and as such are useful in the treatment in transplant rejection and/or in the treatment of certain inflammatory diseases. These compds. are also useful in preventing or treating cardiovascular disorders, allergic conditions, disorders of the central nervous system and cell proliferative disorders. Thus, (S)-sec-butylpteridine II (prepared in several steps from 2,6-diamino-5-hydroxypyrimidine, 3,4-dimethoxyphenylglyoxal oxime, and (S)-sec-butylamine) showed an IC50 of 0.2 μmol/L in a mixed lymphocyte suppression assay and an IC50 value of 0.3 μM in a TNF-α suppression assay.

ACCESSION NUMBER: 2005:216684 HCAPLUS
DOCUMENT NUMBER: 142:298130
TITLE: Preparation and immunosuppressive effects of pteridine derivatives
INVENTOR(S): Waer, Mark Jozef Albert; Herdewijn, Piet Andre Maurits Maria; Pfleiderer, Wolfgang Eugen; Marchand, Arnaud Didier Marie; De Jonghe, Steven Cesar Alfons
PATENT ASSIGNEE(S): 4 Aza Bioscience NV, Belg.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

WO 2005021003 A2 20050310 WO 2004-BE124 20040827
WO 2005021003 A3 20050609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004077859 A1 20040422 US 2003-651604 20030829
GB 2413324 A 20051026 GB 2004-8955 20040422
AU 2004267885 A1 20050310 AU 2004-267885 20040827
CA 2534151 A1 20050310 CA 2004-2534151 20040827
EP 1658081 A2 20060524 EP 2004-761485 20040827
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US 2006287314 A1 20061221 US 2006-595126 20060227
PRIORITY APPLN. INFO.: US 2003-651604 A 20030829
GB 2004-8955 A 20040422
US 1998-113989P P 19981228
WO 1999-EP10320 W 19991228
US 2001-869468 B2 20011010
WO 2004-BE124 W 20040827

OTHER SOURCE(S): MARPAT 142:298130
IT 247913-58-2P 247913-59-3P 278800-06-9P
278800-07-0P 278800-18-3P 278800-23-0P
847756-41-6P 847756-42-7P 847756-43-8P
847756-44-9P 847756-45-0P 847756-46-1P
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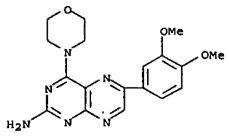
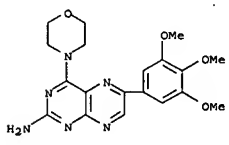
847756-75-6P 847756-76-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and immunosuppressive effects of pteridine derivs.)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 278800-18-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 278800-23-0 HCAPLUS
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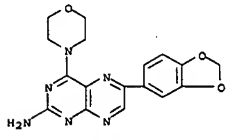
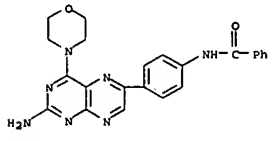
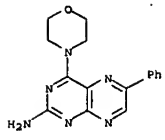


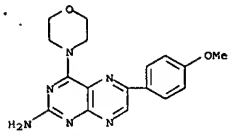
RN 847756-41-6 HCAPLUS
CN Benzamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



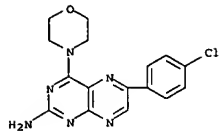
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



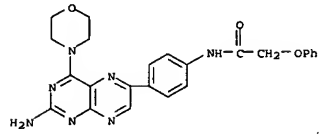
RN 278800-06-9 HCAPLUS
CN 2-Pteridinamine, 6-(4-chlorophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



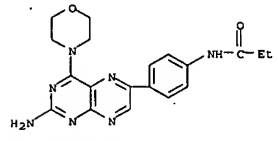
RN 278800-07-0 HCAPLUS
CN 2-Pteridinamine, 6-(3,4-dimethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

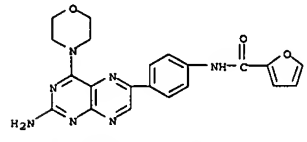
RN 847756-42-7 HCAPLUS
CN Acetamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-2-phenoxy- (9CI) (CA INDEX NAME)



RN 847756-43-8 HCAPLUS
CN Propanamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

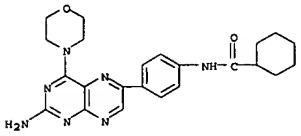


RN 847756-44-9 HCAPLUS
CN 2-Furancarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 847756-45-0 HCAPLUS
CN Cyclohexanecarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-46-1 HCAPLUS
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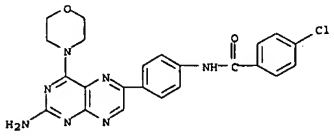

RN 847756-47-2 HCAPLUS
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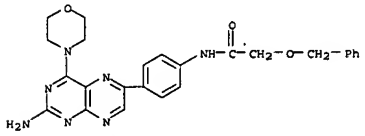
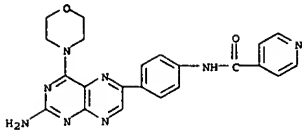
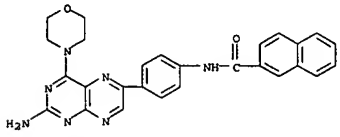


RN 847756-48-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

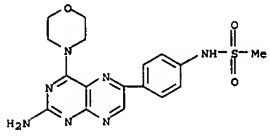
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-49-4 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

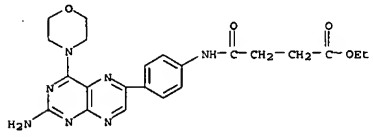


RN 847756-50-7 HCAPLUS
CN Methanesulfonamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-(9CI) (CA INDEX NAME)

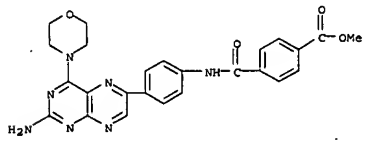


RN 847756-51-8 HCAPLUS
CN Butanoic acid, 4-[[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-52-9 HCAPLUS
CN Benzoic acid, 4-[[[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 847756-53-0 HCAPLUS
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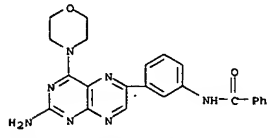
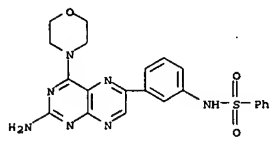


RN 847756-54-1 HCAPLUS
CN Benzenesulfonamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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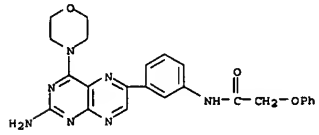

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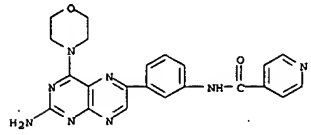
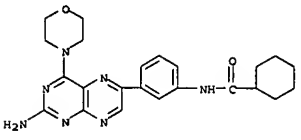
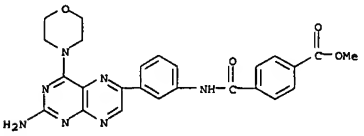


RN 847756-57-4 HCAPLUS
CN Cyclohexanecarboxamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

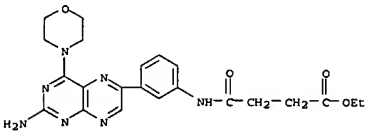
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-58-5 HCAPLUS
CN Benzoic acid, 4-[[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

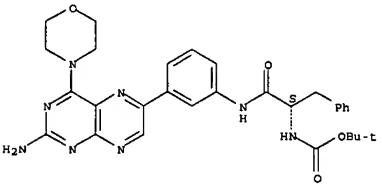


RN 847756-59-6 HCAPLUS
CN Butanoic acid, 4-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



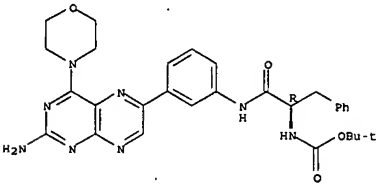
RN 847756-60-9 HCAPLUS
CN Propanoic acid, 3-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



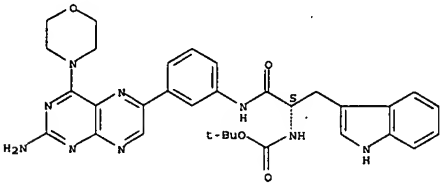
RN 847756-64-3 HCAPLUS
CN Carbamic acid, [(1R)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

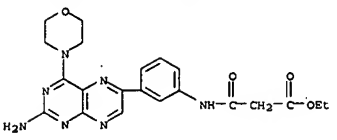


RN 847756-65-4 HCAPLUS
CN Carbamic acid, [(1S)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

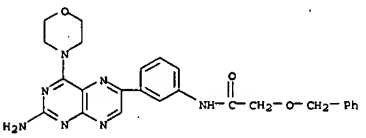
Absolute stereochemistry.



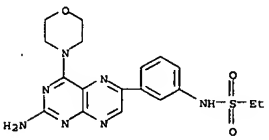
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-61-0 HCAPLUS
CN Acetamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 847756-62-1 HCAPLUS
CN Ethanesulfonamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)



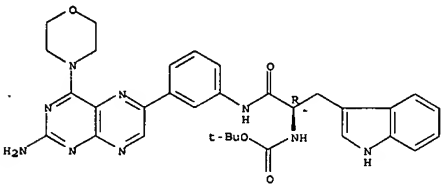
RN 847756-63-2 HCAPLUS
CN Carbamic acid, [(1S)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

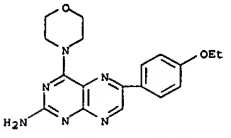
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 847756-66-5 HCAPLUS
CN Carbamic acid, [(1R)-2-[[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

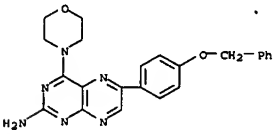
Absolute stereochemistry.



RN 847756-68-7 HCAPLUS
CN 2-Pteridinamine, 6-(4-ethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

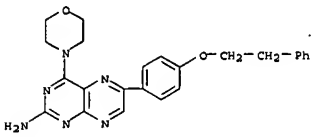


RN 847756-69-8 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

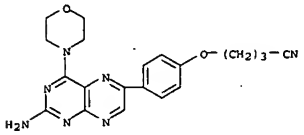


RN 847756-70-1 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-[4-(2-phenylethoxy)phenyl]- (9CI) (CA INDEX NAME)

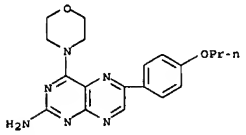
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-71-2 HCAPLUS
CN Butanenitrile, 4-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenoxy]- (9CI) (CA INDEX NAME)

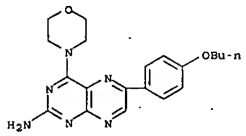


RN 847756-72-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(4-propoxyphenyl)- (9CI) (CA INDEX NAME)



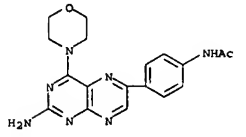
RN 847756-73-4 HCAPLUS
CN Butanoic acid, 4-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

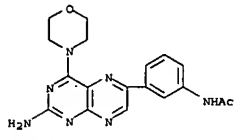


IT 847756-37-0P 847756-38-1P 847756-39-2P
847756-40-5P 847756-67-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and immunosuppressive effects of pteridine derivs.)

RN 847756-37-0 HCAPLUS
CN Acetamide, N-[4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

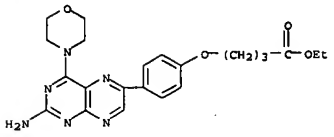


RN 847756-38-1 HCAPLUS
CN Acetamide, N-[3-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenyl]- (9CI) (CA INDEX NAME)

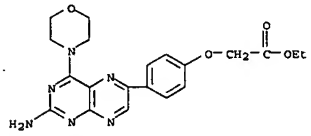


RN 847756-39-2 HCAPLUS
CN 2-Pteridinamine, 6-(4-aminophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

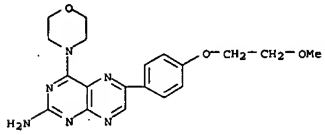
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-74-5 HCAPLUS
CN Acetic acid, [4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

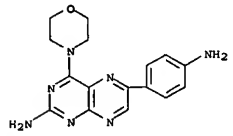


RN 847756-75-6 HCAPLUS
CN 2-Pteridinamine, 6-[4-(2-methoxyethoxy)phenyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

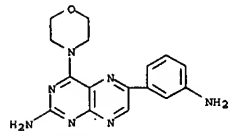


RN 847756-76-7 HCAPLUS
CN 2-Pteridinamine, 6-(4-butoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

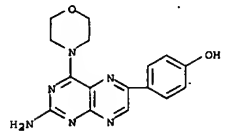
L4 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 847756-40-5 HCAPLUS
CN 2-Pteridinamine, 6-(3-aminophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 847756-67-6 HCAPLUS
CN Phenol, 4-[2-amino-4-(4-morpholinyl)-6-pteridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 23 Apr 2004

AB This invention relates to a group of trisubstituted and tetrasubstituted pteridine derivs., their pharmaceutically acceptable salts, N-oxides, solvates, dihydro- and tetrahydroderivatives and enantiomers, possessing unexpectedly desirable pharmaceutical properties, in particular which are highly active immunosuppressive agents, and as such are useful in the treatment in transplant rejection and/or in the treatment of certain inflammatory diseases. These compds. are also useful in preventing or treating cardiovascular disorders, allergic conditions, disorders of the central nervous system and cell proliferative disorders. The pteridine deriva. (preparation given) inhibited the mixed lymphocyte reaction and reduced
T cell proliferation in the CD3 and CD28 assay.

ACCESSION NUMBER: 2004:331825 HCAPLUS
DOCUMENT NUMBER: 140:350561
TITLE: Immunosuppressive effects of pteridine derivatives and
pharmaceutical compositions containing them
INVENTOR(S): Waer, Mark Jozef Albert; Herdewijn, Piet Andre Maurits
Maria; Pfleiderer, Wolfgang Eugen
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 869,468, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077859	A1	20040422	US 2003-651604	20030829
WO 2000039129	A1	20000706	WO 1999-EP10320	19991228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2004267885	A1	20050310	AU 2004-267885	20040827
CA 2534151	A1	20050310	CA 2004-2534151	20040827
WO 2005021003	A2	20050310	WO 2004-BE124	20040827
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L4 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1658081	A2	20060524	EP 2004-761485	20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006189620	A1	20060824	US 2006-275601	20060118
US 2006287314	A1	20061221	US 2006-595126	20060227

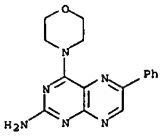
PRIORITY APPLN. INFO.:

US 1998-113989P	P	19981228
WO 1999-EP10320	W	19991228
US 2001-869468	B2	20011010
US 2003-651604	A	20030829
GB 2004-8955	A	20040422
WO 2004-BE124	W	20040827

OTHER SOURCE(S): MARPAT 140:350561

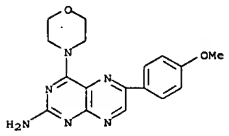
IT 247913-58-2P 247913-59-3P 278800-06-9P
278800-07-0P 278800-18-3P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(immunosuppressant pteridine deriva. and compns.)

RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

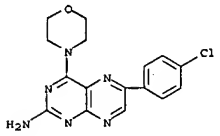


RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

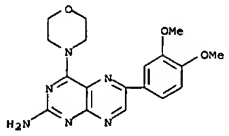
L4 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



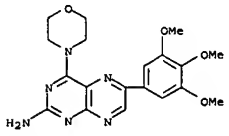
RN 278800-06-9 HCAPLUS
CN 2-Pteridinamine, 6-(4-chlorophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 278800-07-0 HCAPLUS
CN 2-Pteridinamine, 6-(3,4-dimethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

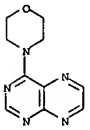


RN 278800-18-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

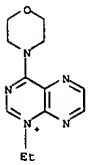
L4 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 15 Mar 2004
AB A review. Methods for preparing pteridines are reviewed including cyclization, ring transformation, and substituent modification.
ACCESSION NUMBER: 2004:205978 HCAPLUS
DOCUMENT NUMBER: 142:74366
TITLE: Product class 21: pteridines and related structures
AUTHOR(S): Ishikawa, T.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2004), 16, 1291-1335
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
IT 104210-24-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pteridines via cyclization, ring transformation and substituent modification)
RN 104210-24-4 HCAPLUS
CN Pteridine, 4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



IT 104210-26-6P 104210-28-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pteridines via cyclization, ring transformation and substituent modification)
RN 104210-26-6 HCAPLUS
CN Pteridinium, 1-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-25-5
CMF C12 H16 N5 O



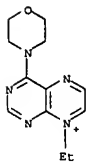
CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

RN 104210-28-8 HCAPLUS
CN Pteridinium, 8-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-27-7
CMF C12 H16 N5 O



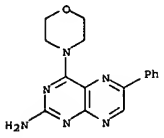
CM 2

L4 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CRN 14874-70-5
CMF B F4
CCI CCS

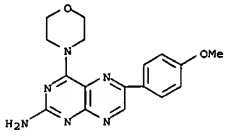
REFERENCE COUNT: 246 THERE ARE 246 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 May 2002
AB The family of homodimeric nitric oxide synthases (NOS I-III) catalyzes the generation of the cellular messenger nitric oxide (NO) by oxidation of the substrate L-arginine. The rational design of specific NOS inhibitors is of therapeutic interest in regulating pathol. NO levels associated with sepsis, inflammatory, and neurodegenerative diseases. The cofactor (6R)-5,6,7,8-tetrahydrobiopterin (H4Bip) maximally activates all NOSs and stabilizes enzyme quaternary structure by promoting and stabilizing dimerization. Here, we describe the synthesis and three-dimensional (3D) quant. structure-activity relationship (QSAR) anal. of 65 novel 4-amino- and 4-oxo-pteridines (antipterins) as inhibitors targeting the H4Bip binding site of the neuronal NOS isoform (NOS-I). The exptl. binding modes for two inhibitors complexed with the related endothelial NO synthase (NOS-III) reveal requirements of biol. affinity and form the basis for ligand alignment. Different alignment rules were derived by building other compds. accordingly using manual superposition or a genetic algorithm for flexible superposition. Those alignments led to 3D-QSAR models (comparative mol. field anal. (CoMFA) and comparative mol. similarity index anal. (CoMSIA)], which were validated using leave-one-out cross-validation, multiple analyses with two and five randomly chosen cross-validation groups, perturbation of biol. activities by randomization or progressive scrambling, and external prediction. An iterative realignment procedure based on rigid field fit was used to improve the consistency of the resulting partial least squares models. This led to consistent and highly predictive 3D-QSAR models with good correlation coeffs. for both CoMFA and CoMSIA, which correspond to exptl. determined NOS-II and -III H4Bip binding site topologies as well as to the NOS-I homol. model binding site in terms of steric, electrostatic, and hydrophobic complementarity. These models provide clear guidelines and accurate activity predictions for novel NOS-I inhibitors.
ACCESSION NUMBER: 2002:392358 HCAPLUS
DOCUMENT NUMBER: 137:119060
TITLE: Structural Requirements for Inhibition of the Neuronal Nitric Oxide Synthase (NOS-I): 3D-QSAR Analysis of 4-Oxo- and 4-Amino-Pteridine-Based Inhibitors
AUTHOR(S): Matter, Hans; Kotsonis, Peter; Klingler, Otmar; Strobel, Hartmut; Froehlich, Lothar G.; Frey, Armin; Pfleiderer, Wolfgang; Schmidt, Harald H. H. W.
CORPORATE SOURCE: Molecular Modeling, Aventis Pharma, Frankfurt am Main, 65926, Germany
SOURCE: Journal of Medicinal Chemistry (2002), 45(14), 2923-2941
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:119060
IT 247913-58-2 247913-59-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and QSAR of 4-oxo- and 4-amino-pteridine-based neuronal NOS

L4 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
inhibitors)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

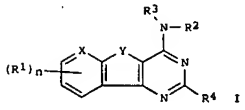


RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Nov 2001
GI



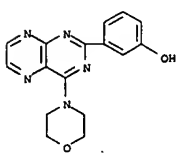
AB The title compds, e.g. I [n = 0 - 3; R1 = alkyl, etc.; R2, R3 = H, alkyl, etc; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH], are prepared Several compds. of this invention in vitro showed IC50 values of ≤ 1 μM against phosphatidylinositol 3-kinase (p110 α subtype). The antitumor activity of compds. of this invention is also demonstrated.
ACCESSION NUMBER: 2001:816643 HCAPLUS
DOCUMENT NUMBER: 135:344500
TITLE: Preparation of condensed heteroaryl derivatives as phosphatidylinositol 3-kinase inhibitors and anticancer agents
INVENTOR(S): Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer Research Technology Ltd.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083456	A1	20011108	WO 2001-JP3650	20010426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407593	A1	20011108	CA 2001-2407593	20010426
AU 2001052610	A5	20011112	AU 2001-52610	20010426

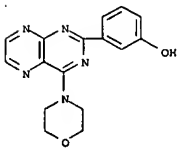
L4 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2002151544	A1	20021017	US 2001-843615	20010426
US 6608053	B2	20030819		
EP 1277738	A1	20030122	EP 2001-925981	20010426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3649395	B2	20050518	JP 2001-580885	20010426
CN 1629145	A	20050622	CN 2004-10055760	20010426
US 6608056	B1	20030819	US 2002-243416	20020913
US 2003236271	A1	20031225	US 2003-459002	20030610
US 6838457	B2	20050104		
US 2004009978	A1	20040115	US 2003-459220	20030610
US 6770641	B2	20040803		
US 2005014771	A1	20050120	US 2004-918094	20040813
US 7037915	B2	20060502		
JP 2005120102	A	20050512	JP 2004-332225	20041116
JP 3810017	B2	20060816		
US 2006058321	A1	20060316	US 2005-250782	20051014
PRIORITY APPLN. INFO.:			JP 2000-128472	A 20000427
			US 2000-200537P	P 20000427
			US 2000-200481P	P 20000428
			JP 2001-580885	A3 20010426
			US 2001-843615	A3 20010426
			WO 2001-JP3650	W 20010426
			US 2002-243416	A3 20020913
			US 2003-459002	A1 20030610
			US 2004-918094	A1 20040813

OTHER SOURCE(S): MARPAT 135:344500
IT 371949-41-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and effect of condensed heteroaryl derivs. with activity against phosphatidylinositol 3-kinase)
RN 371949-41-6 HCAPLUS
CN Phenol, 3-[4-(4-morpholinyl)-2-pteridinyl]- (9CI) (CA INDEX NAME)



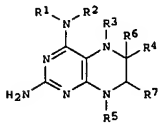
L4 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 371942-62-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)
RN 371942-62-0 HCAPLUS
CN Phenol, 3-[4-(4-morpholinyl)-2-pteridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



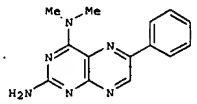
● HCl

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Mar 2001
GI



I



II

AB Pteridines, such as I [R1, R2 = H, alkyl, aryl, arylalkyl; R1R2 = nitrogen
bound heterocyclyl, such as 1-piperidinyl or 4-morpholinyl; R4 = alkyl, alkenyl, alkynyl, cycloalkenyl, aryl, etc.; R3, R5 = acyl, aroyl, R6 = R7 = H, or R3R6 = R5R7 = bond;], were prepared for pharmaceutical use. Thus,
pteridine II was prepared via cyclocondensation of N4,N4-dimethylpyrimidinetetramine dihydrochloride and phenylglyoxal monoxime. The prepared pteridines were tested for nitric oxide synthase inhibiting activity.

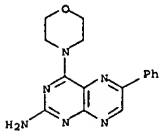
ACCESSION NUMBER: 2001:228889 HCAPLUS
DOCUMENT NUMBER: 134:237499
TITLE: Preparation of N-substituted-4-aminopteridines as NO synthase inhibitors for use as pharmaceuticals
INVENTOR(S): Pfleiderer, Wolfgang; Schmidt, Harald; Proehlich, Lothar; Kotsonis, Peter; Taghavi-Moghadam, Shahriyar
PATENT ASSIGNEE(S): Vasopharm Biotech G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021619	A1	20010329	WO 2000-EP8833	20000911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19944767	A1	20010329	DE 1999-19944767	19990917

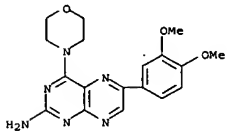
L4 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

EP 1216246	A1	20020626	EP 2000-964154	20000911
EP 1216246	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2004522690	T	20040729	JP 2001-524995	20000911
AT 302778	T	20050915	AT 2000-964154	20000911
ES 2248124	T3	20060316	ES 2000-964154	20000911
US 6844343	B1	20050118	US 2002-70976	20020719
PRIORITY APPLN. INFO.:			DE 1999-19944767	A 19990917
			WO 2000-EP8833	W 20000911

OTHER SOURCE(S): MARPAT 134:237499
IT 247913-58-2P 278800-07-0P 330575-33-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-substituted-4-aminopteridines as NO synthase inhibitors
for pharmaceutical use)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

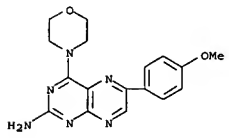


RN 278800-07-0 HCAPLUS
CN 2-Pteridinamine, 6-(3,4-dimethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



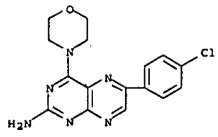
RN 330575-33-2 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)-, monohydrochloride

L4 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



● HCl

IT 330575-32-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-substituted-4-aminopteridines as NO synthase inhibitors
for pharmaceutical use)
RN 330575-32-1 HCAPLUS
CN 2-Pteridinamine, 6-(4-chlorophenyl)-4-(4-morpholinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



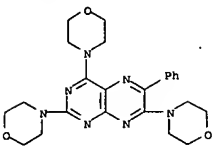
● HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

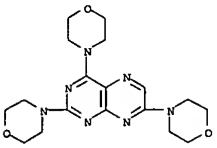
L4 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Oct 2000
AB Linear discriminant anal. is used to generate models to classify multidrug-resistance reversal agents based on activity. Models are generated and evaluated using multidrug-resistance reversal activity values for 609 compds. measured using adriamycin-resistant P388 murine leukemia cells. Structure-based descriptors numerically encode mol. features which are used in model formation. Two types of models are generated: one type to classify compds. as inactive, moderately active, and active (three-class problem) and one type to classify compds. as inactive or active without considering the moderately active class (two-class problem). Two activity distributions are considered, where the
separation between inactive and active compds. is different. When the separation
between inactive and active classes is small, a model based on nine topol.
descriptors is developed that produces a classification rate of 83.1% correct for an external prediction set. Larger separation between active and
inactive classes raises the prediction set classification rate to 92.0% correct using a model with six topol. descriptors. Models are further validated through Monte Carlo expts. in which models are generated after class labels have been scrambled. The classification rates achieved demonstrate that the models developed could serve as a screening mechanism
to identify potentially useful multidrug-resistance reversal (MDRR) agents
from large libraries of compds.

ACCESSION NUMBER: 2000:720700 HCAPLUS
DOCUMENT NUMBER: 134:25113
TITLE: Classification of multidrug-resistance reversal agents
using structure-based descriptors and linear discriminant analysis
AUTHOR(S): Bakken, Gregory A.; Jurs, Peter C.
CORPORATE SOURCE: Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(23), 4534-4541
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 16888-10-1, RE 28 16888-13-4, RE 66 96801-69-3
, RXRE-62
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(classification of multidrug-resistance reversal agents using structure-based descriptors and linear discriminant anal. in relation to drug screening)
RN 16888-10-1 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl-6-phenyl- (9CI) (CA INDEX NAME)

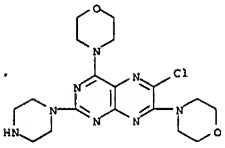
L4 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 16888-13-4 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl- (9CI) (CA INDEX NAME)

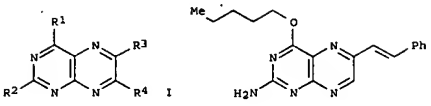


RN 96801-69-3 HCAPLUS
CN Pteridine, 6-chloro-4,7-di-4-morpholinyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Jul 2000
GI



AB Pteridines, such as I [R1, R2 = NH2, NHOH, alkylamine, dialkylamine, alkyloxyamine, dialkyloxyamine, nitrogen containing heterocyclyl, etc.; R3 = halogen, alkoxy, alkyl, aryl, etc.; R4 = H, alkyl, alkoxy, aryl] were prepared for pharmaceutical use in the treatment of inflammatory diseases and autoimmune disorders. Thus, pteridine II was prepared in 72% yield by reaction of 6-chloro-4-(pentyloxy)-2-pteridinamine and styrene using palladium acetate, tri-o-tolylphosphine, cuprous iodide, and triethylamine in acetonitrile. The prepared pteridines were tested for immunosuppressive and anti-inflammatory activity.

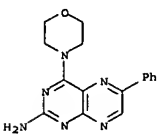
ACCESSION NUMBER: 2000:457070 HCAPLUS
DOCUMENT NUMBER: 133:73895
TITLE: Preparation of pteridine derivatives for pharmaceutical use in the treatment of inflammatory diseases and autoimmune disorders
INVENTOR(S): Waer, Mark Joseph Albert; Herdewijn, Piet Andre Maurits Maria; Pfleiderer, Wolfgang Eugen
PATENT ASSIGNEE(S): K.U. Leuven Research & Development, Belg.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039129	A1	20000706	WO 1999-EP10320	19991228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

L4 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

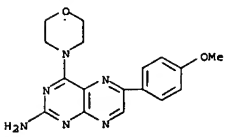
CA 2356380	A1	20000706	CA 1999-2356380		19991228
EP 1144412	A1	20011017	EP 1999-964663		19991228
EP 1144412	B1	20040929			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002533464	T	20021008	JP 2000-591040		19991228
AU 770551	B2	20040226	AU 2000-30429		19991228
AT 277929	T	20041015	AT 1999-964663		19991228
ES 2229803	T3	20050416	ES 1999-964663		19991228
US 2004077859	A1	20040422	US 2003-651604		20030829
US 2006189620	A1	20060824	US 2006-275601		20060118
US 2006287314	A1	20061221	US 2006-595126		20060227
PRIORITY APPLN. INFO.:			US 1998-113989P	P	19981228
			WO 1999-EP10320	W	19991228
			US 2001-869468	B2	20011010
			US 2003-651604	A1	20030829
			GB 2004-8955	A	20040422
			WO 2004-BE124	W	20040827

OTHER SOURCE(S): MARPAT 133:73895
IT 247913-58-2P 247913-59-3P 278800-06-9P
278800-07-0P 278800-18-3P 278800-23-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pteridine derivs. for pharmaceutical use in the treatment of inflammatory diseases and autoimmune disorders)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

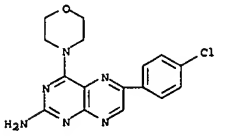


RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

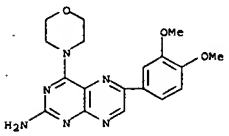
L4 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



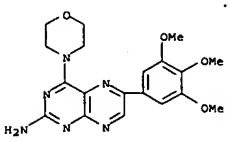
RN 278800-06-9 HCAPLUS
CN 2-Pteridinamine, 6-(4-chlorophenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 278800-07-0 HCAPLUS
CN 2-Pteridinamine, 6-(3,4-dimethoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

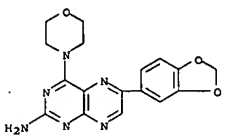


RN 278800-18-3 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 278800-23-0 HCAPLUS
CN 2-Pteridinamine, 6-(1,3-benzodioxol-5-yl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

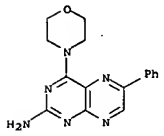


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

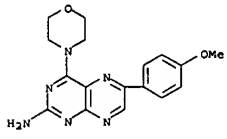
FORMAT

L4 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Sep 1999
AB The family of nitric oxide synthases (NOS) catalyzes the conversion of L-arginine to L-citrulline and nitric oxide (NO), an important cellular messenger mol. which has been implicated in the pathophysiol. of septic shock and inflammatory and neurodegenerative disease states. NOS can be maximally activated by the ubiquitous cofactor, (6R)-5,6,7,8-tetrahydrobiopterin (H4Bip), and antagonists of H4Bip may be of therapeutic importance to inhibit pathol. high NO formation. The 4-amino substituted analog of H4Bip was reported to be a potent NOS inhibitor. Therefore, we developed a series of novel 4-amino pteridine derivs., anti-pterins, to pharmacol. target the neuronal isoform of nitric oxide synthase (NOS-I). To functionally characterize the pterin/anti-pterin interaction and establish a structure-activity relationship (SAR), we systematically altered the substituents in the 2-, 4-, 5-, 6-, and 7-position of the pteridine nucleus. Varying the substitution pattern in the 2-, 5-, and 7-position resulted in no significant inhibitory effect on enzyme activity. In contrast, bulky substituents in the 6-position, such as Ph, markedly increased the inhibitory potency of the reduced 4-amino-5,6,7,8-tetrahydropteridines, possibly as a consequence of hydrophobic interactions within NOS-I. However, this was not the case for the aromatic 4-amino pteridines. Interestingly, chemical modification of the 4-amino substituent by dialkyl/diaralkylation together with 6-arylation of the aromatic 2,4-diamino pteridine resulted in potent and efficacious inhibitors of NOS-I, suggesting possible hydrophilic and hydrophobic interactions within NOS-I. This SAR agrees with (a) the recently published crystal structure of the oxygenase domain of the inducible NOS isoform (NOS-II) and (b) the comparative mol. field anal. of selected NOS-I inhibitors, which resulted in a 3D-QSAR model of the pterin binding site interactions. Further optimization should be possible when the full length structure of NOS-I becomes available.
ACCESSION NUMBER: 1999:589097 HCAPLUS
DOCUMENT NUMBER: 131:317316
TITLE: Inhibition of Neuronal Nitric Oxide Synthase by 4-Amino Pteridine Derivatives: Structure-Activity Relationship of Antagonists of (6R)-5,6,7,8-Tetrahydrobiopterin Cofactor
AUTHOR(S): Froehlich, Lothar G.; Kotsonis, Peter; Traub, Hermann; Taghavi-Moghadam, Shahriyar; Al-Masoudi, Najim; Hofmann, Heinrich; Strobel, Hartmut; Matter, Hans; Pfleiderer, Wolfgang; Schmidt, Harald H. H. W.
CORPORATE SOURCE: Department of Pharmacology and Toxicology, Julius-Maximilians University Wuerzburg, Wuerzburg, 97078, Germany
SOURCE: Journal of Medicinal Chemistry (1999), 42(20), 4108-4121
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 247913-58-2P 247913-59-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of and inhibition of neuronal nitric oxide synthase by aminopteridines)
RN 247913-58-2 HCAPLUS
CN 2-Pteridinamine, 4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)



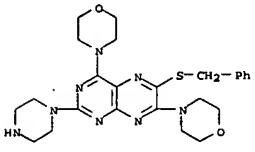
RN 247913-59-3 HCAPLUS
CN 2-Pteridinamine, 6-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



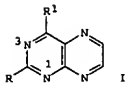
REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Sep 1996
AB A series of pyrimido-pyrimidine derivs. were tested for their effect on membrane fluidity-deformability of human red blood cells and on human platelet aggregation. These agents were also tested for their intracellular cAMP increasing activity and proliferation inhibitory activity in neoplastic cells. The order of activity was established and clin. implications discussed. Several derivs. are under study as antineoplastic agents.
ACCESSION NUMBER: 1996:542429 HCAPLUS
DOCUMENT NUMBER: 125:237770
TITLE: Hemorheologic effects of pyrimido-pyrimidine derivatives
AUTHOR(S): Ambrus, J. L.; Stadler, I.; Kulaylat, M.; Koreshi, A.; Akhtar, S.
CORPORATE SOURCE: Dep. Int. Med., Univ. New York, Buffalo, NY, USA
SOURCE: Journal of Medicine (Westbury, New York) (1996), 27(1 & 2), 21-32
CODEN: JNMDBO; ISSN: 0025-7850
PUBLISHER: PJD Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 96801-70-6, RE 64
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(hemorheol. effects of antineoplastic pyrimidopyrimidines)
RN 96801-70-6 HCAPLUS
CN Pteridine, 4,7-di-4-morpholinyl-6-[(phenylmethyl)thio]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Mar 1990
GI



I

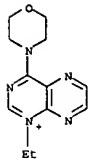
AB The regiochem. of quaternization of unsubstituted pteridine I (R = R1 = H) at N1 and N3 was consistent with the CNDO/2-calculated charge d. at these centers vs. those in the pyrazine ring. Both electronic and steric substituent effects were considered in predicting the regiochem. of quaternization of more general derivs. I (R = e.g., NMe2, R1 = e.g., Me), as well as the relative stability of the regioisomeric pteridinium salts (as reflected in their resonance energies). The regiochem. of attack of nucleophilic reagents on the resultant pteridinium salts was also assessed from the point of view of electron configuration.

ACCESSION NUMBER: 1990:97801 HCAPLUS
DOCUMENT NUMBER: 112:97801
TITLE: Electronic structure and properties of pteridines and N-alkylpteridinium salts
AUTHOR(S): Torgashev, P. A.; Kazantseva, I. V.; Chupakhin, O. N.; Charushin, V. N.; Belik, A. V.
CORPORATE SOURCE: Chelyab. Gos. Univ., Chelyabinsk, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1989), (8), 1118-25
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 112:97801
IT 104210-26-6P 104210-28-8P 111157-74-5P 111157-96-1P 125193-50-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 104210-26-6 HCAPLUS
CN Pteridinium, 1-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-25-5
CMF C12 H16 N5 O

L4 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



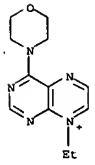
CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

RN 104210-28-8 HCAPLUS
CN Pteridinium, 8-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-27-7
CMF C12 H16 N5 O



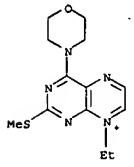
CM 2

L4 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CRN 14874-70-5
CMF B F4
CCI CCS

RN 111157-74-5 HCAPLUS
CN Pteridinium, 8-ethyl-2-(methylthio)-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 111157-73-4
CMF C13 H18 N5 O S



CM 2

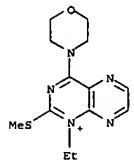
CRN 14874-70-5
CMF B F4
CCI CCS

RN 111157-96-1 HCAPLUS
CN Pteridinium, 1-ethyl-2-(methylthio)-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 111157-95-0
CMF C13 H18 N5 O S

L4 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



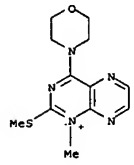
CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

RN 125193-50-2 HCAPLUS
CN Pteridinium, 1-methyl-2-(methylthio)-4-(4-morpholinyl)-, fluorosulfate (9CI) (CA INDEX NAME)

CM 1

CRN 125193-49-9
CMF C12 H16 N5 O S

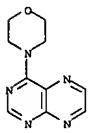


CM 2

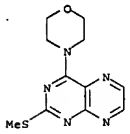
CRN 15181-47-2
CMF F O3 S

L4 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

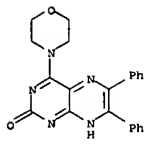
IT 104210-24-4 111185-13-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization of, regiochem. of)
RN 104210-24-4 HCAPLUS
CN Pteridine, 4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



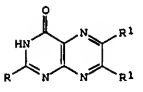
RN 111185-13-8 HCAPLUS
CN Pteridine, 2-(methylthio)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

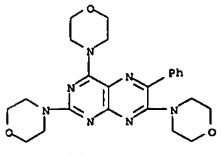


L4 ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 23 Dec 1989
GI



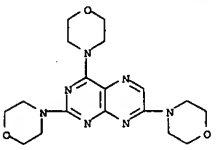
AB Selective oxidns. of 2-thiolumazines with H2O2 or KMnO4 in basic media led
to sulfinates I (R = SO2K, R1 = H, Me, Ph) and sulfonates I (R = SO3K, R1 = H, Me, Ph) resp. Oxidation of 6,7-diphenyl-2-thiolumazine with 1 equiv of
H2O2 gave 6,7-diphenylpteridin-4-one-2-sulfenate, which is regarded as an intermediate in the formation of the sulfinates. Acid and base hydrolyze I (R = SO2K, SO3K) to I (R = OH). Treatment of I (R = SO2K) with strong anhydrous acids such as HCO2H or H2SO4 effects SO2 elimination to give I (R =
H). The oxidative desulfurization of 2-thiolumazines was achieved directly with H2O2 and with 3-ClC6H4CO2OH-HCO2H. Analogously nucleophilic
displacement reactions of the 2-thione group proceeded under mild conditions by H2O2 oxidation in the presence of various amines. 6,7-Diphenyl-4-thiolumazine shows similar reactions on oxidation in the presence of amines, but the 4-sulfinate and sulfonate are too unstable in this series to be isolated. SO2 elimination does not take place since hydrolysis is the preferred reaction mode.
ACCESSION NUMBER: 1989:632434 HCAPLUS
DOCUMENT NUMBER: 111:232434
TITLE: Pteridines. LXXXVIII. Oxidations and reactions of 2- and 4-thiolumazine derivatives. Synthesis and properties of pteridinesulfinates and -sulfonates
AUTHOR(S): Bartke, Michael; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Fed. Rep. Ger.
SOURCE: Pteridines (1989), 1(1), 45-56
CODEN: PTRDEO; ISSN: 0933-4807
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 123886-51-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 123886-51-1 HCAPLUS
CN 2(1H)-Pteridinone, 4-(4-morpholinyl)-6,7-diphenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Jun 1989
AB Dipyridamole restores sensitivity to Adriamycin (ADR) in drug-resistant cells. In an effort to elucidate the relationship between activity and chemical structure of dipyridamole, the ability to enhance the growth-inhibitory effect of ADR, in multidrug-resistant (MDR) P388 murine leukemia cells, was determined Since both substituted pyrimidopyrimidines and
pteridines enhanced the growth-inhibitory effect of ADR in drug-resistant cells, the core skeleton may not be directly involved and rather serve as a carrier for the substituents connected with this activity. The exact positions of the active substituents on the core skeleton did not seem to be critical for exertion of the activity. Activity was dependent on the presence of 3 tertiary amine groups. However, not all tertiary amines showed the same potency, which might be related to the degree of basicity and(or) the spatial structure of these groups. The most active derivs. carried piperidine and pyrrolidine groups, while derivs. with thiomorpholine, 3-hydroxypiperidine or dimethylamine groups had low activity. Activity was also dependent on the presence of a substituent with partial electroneg. charges, as found in a diethanolamine group. However, this function could be carried out, with even higher efficiency, by a substituent containing 6π electrons.
ACCESSION NUMBER: 1989:205087 HCAPLUS
DOCUMENT NUMBER: 110:205087
TITLE: Circumvention of adriamycin resistance by dipyridamole
analogs: a structure-activity relationship study
AUTHOR(S): Ramu, Nili; Ramu, Avner
CORPORATE SOURCE: Dep. Radiat. Clin. Oncol., Hadassah Univ. Hosp., Jerusalem, Israel
SOURCE: International Journal of Cancer (1989), 43(3), 487-91
CODEN: IJCNAW; ISSN: 0020-7136
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 16888-10-1, RE 28 16888-13-4, RE 66 96801-69-3
, RXRE 62
RL: BIOL (Biological study)
(Adriamycin resistance of leukemia cells inhibition by, structure in relation to)
RN 16888-10-1 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl-6-phenyl- (9CI) (CA INDEX NAME)

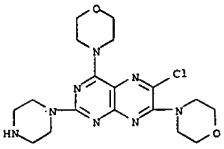


RN 16888-13-4 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



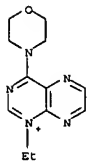
RN 96801-69-3 HCAPLUS
CN Pteridine, 6-chloro-4,7-di-4-morpholinyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Apr 1988
AB Half-wave potentials (E) for polarog. reduction of pyrazinium, quinoxalinium, benzoquinoxalinium, pyrido[2,3-b]pyrazinium, and pteridinium salts were determined Annulation of diazinium ions by benzene rings increased their electrophilicity more than the introduction of aza, CONH2, or CO2Me groups. Those cations with E more neg. than -0.5 V did not form cyclic adducts with N-2-pyridylacetoacetamide.
ACCESSION NUMBER: 1988:111588 HCAPLUS
DOCUMENT NUMBER: 108:111588
TITLE: Cyclization of N-alkylazinium cations with bifunctional nucleophiles. 23. Electrochemical criteria of electrophilic properties of 1,4-diazinium cations and their participation in cyclization with an acetoacetamide
AUTHOR(S): Sosonkin, I. M.; Kalb, G. L.; Kazantseva, I. V.; Ponizovskii, M. G.; Charushin, V. N.; Chupakhin, O. N.
CORPORATE SOURCE: Ural. Politekh. Inst., Sverdlovsk, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1987), (8), 1110-17
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 108:111588
IT 104210-26-6 104210-28-8 111157-74-5 111157-96-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(polarog. reduction of)
RN 104210-26-6 HCAPLUS
CN Pteridinium, 1-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-25-5
CMF C12 H16 N5 O



CM 2

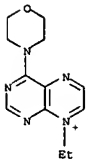
L4 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 14874-70-5
CMF B F4
CCI CCS

RN 104210-28-8 HCAPLUS
CN Pteridinium, 8-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-27-7
CMF C12 H16 N5 O



CM 2

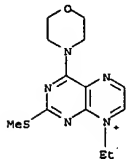
CRN 14874-70-5
CMF B F4
CCI CCS

RN 111157-74-5 HCAPLUS
CN Pteridinium, 8-ethyl-2-(methylthio)-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 111157-73-4
CMF C13 H18 N5 O S

L4 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



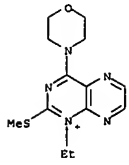
CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

RN 111157-96-1 HCAPLUS
CN Pteridinium, 1-ethyl-2-(methylthio)-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 111157-95-0
CMF C13 H18 N5 O S

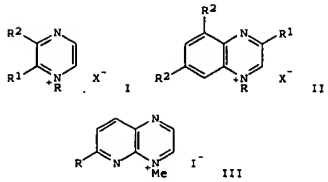


CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

L4 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 27 Nov 1987
GI



AB The pKR+ values and equilibrium consts. for OH- addition to diazinium cations, e.g., I (R = Me, Et; R1 = H, CO2Me; R2 = CONH2, CO2Me; X = I, BF4), II (R = Me, Et; R1 = H, Ph; R2 = H, Me; X = I, BF4), and III (R = Me2N, piperidino), were determined spectrophotometrically. On NMR method was used to determine the ratios of 1:1 and 2:1 adducts of CD3O- with 1,4-diazinium ions in CD3ONa-CD3OD, and equilibrium consts. for conversion of the monoadducts to the diadducts were also found.

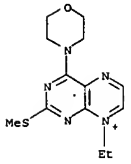
ACCESSION NUMBER: 1987:597425 HCAPLUS
DOCUMENT NUMBER: 107:197425
TITLE: Reactions of azinium cations. 5. Addition of water and methanol to 1,4-diazinium cations in the presence of bases. Equilibrium constants and NMR spectra of mono- and diadducts
AUTHOR(S): Charushin, V. N.; Kazantseva, I. V.; Ponizovskii, M. G.; Egorova, L. G.; Sidorov, E. O.; Chupakhin, O. N.
CORPORATE SOURCE: Ural. Politekh. Inst., Sverdlovsk, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1986), (10), 1380-8
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 107:197425

IT 111157-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hydroxide and methoxide)
RN 111157-74-5 HCAPLUS
CN Pteridinium, 8-ethyl-2-(methylthio)-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 1

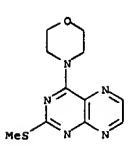
CRN 111157-73-4
CMF C13 H18 N5 O S



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

IT 111185-13-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with triethyloxonium tetrafluoroborate)
RN 111185-13-8 HCAPLUS
CN Pteridine, 2-(methylthio)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

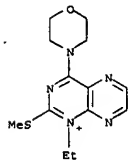


IT 111157-96-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 111157-96-1 HCAPLUS
CN Pteridinium, 1-ethyl-2-(methylthio)-4-(4-morpholinyl)-,

L4 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 111157-95-0
CMF C13 H18 N5 O S



CM 2

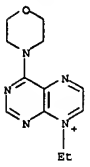
CRN 14874-70-5
CMF B F4
CCI CCS

IT 104210-28-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxide and methoxide)
RN 104210-28-8 HCAPLUS
CN Pteridinium, 8-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-27-7
CMF C12 H16 N5 O

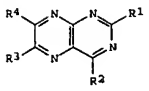
L4 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

L4 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Nov 1986
GI



AB The title compds. (I; R1 = piperazino, N-formylpiperazino; R2, R4 = amino,
heterocyclyl; R3 = H, alkyl, Ph) were prepared as antithrombotic, sedative,
antipyretic, analgesic and antineoplastic agents. Thus, I (R1 = R2 = R4 = Cl, R3 = H) was aminated with morpholine in 2 steps (86% and 57% yield, resp.) to give I (R1 = Cl, R2 = R4 = morpholino, R3 = H). This was condensed with piperazine to give 85% I (R1 = piperazino, R2 = R4 = morpholino, R3 = H). I (R1 = piperazino, R2 = R4 = morpholino, R3 = Ph) gave 50% inhibition of phosphodiesterase from human thrombocytes at 0.51 μmol/L. Tablets were prepared containing 8.0 mg I, and 23.0 mg lactose.

ACCESSION NUMBER: 1986:552834 HCAPLUS
DOCUMENT NUMBER: 105:152834
TITLE: Pteridines and their use as intermediate products or pharmaceuticals
INVENTOR(S): Roch, Josef; Heckel, Armin; Nickl, Josef; Mueller, Erich; Narr, Berthold; Zimmermann, Rainer; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

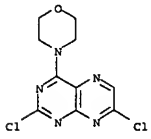
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3445298	A1	19860612	DE 1984-3445298	19841212
EP 185259	A2	19860625	EP 1985-115459	19851205
EP 185259	A3	19890301		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FI 8504862	A	19860613	FI 1985-4862	19851210.
FI 82696	B	19901231		
FI 82696	C	19910410		
DK 8505726	A	19860613	DK 1985-5726	19851211
DK 161327	B	19910624		
DK 161327	C	19911209		
NO 8504965	A	19860613	NO 1985-4965	19851211
NO 161373	B	19890502		
NO 161373	C	19890809		

L4 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

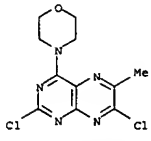
JP 61140585	A	19860627	JP 1985-278859	19851211
ES 549806	A1	19870716	ES 1985-549806	19851211
ZA 8509462	A	19870729	ZA 1985-9462	19851211
IL 77294	A	19890228	IL 1985-77294	19851211
CA 1252783	A1	19890418	CA 1985-497336	19851211
AU 8551232	A	19860619	AU 1985-51232	19851212
AU 576924	B2	19880908		

PRIORITY APPLN. INFO.: DE 1984-3445298 A 19841212

OTHER SOURCE(S): CASREACT 105:152834; MARPAT 105:152834
IT 104476-35-9P 104476-42-8P 104476-45-1P
104476-53-1P 104476-60-0P 104476-69-9P
104476-70-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)
RN 104476-35-9 HCAPLUS
CN Pteridine, 2,7-dichloro-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

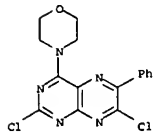


RN 104476-42-8 HCAPLUS
CN Pteridine, 2,7-dichloro-6-methyl-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

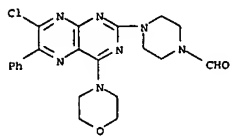


RN 104476-45-1 HCAPLUS
CN Pteridine, 2,7-dichloro-4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)

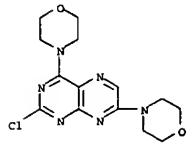
L4 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



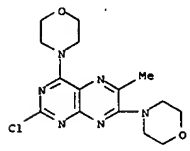
RN 104476-53-1 HCAPLUS
CN 1-Piperazinecarboxaldehyde, 4-[7-chloro-4-(4-morpholinyl)-6-phenyl-2-pteridinyl]- (9CI) (CA INDEX NAME)



RN 104476-60-0 HCAPLUS
CN Pteridine, 2-chloro-4,7-di-4-morpholinyl- (9CI) (CA INDEX NAME)

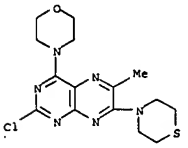


RN 104476-69-9 HCAPLUS
CN Pteridine, 2-chloro-6-methyl-4,7-di-4-morpholinyl- (9CI) (CA INDEX NAME)

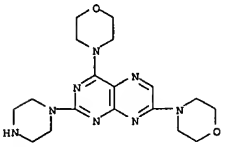


L4 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

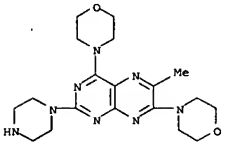
RN 104476-70-2 HCAPLUS
CN Pteridine, 2-chloro-6-methyl-4-(4-morpholinyl)-7-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



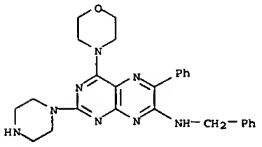
IT 104476-01-9P 104476-10-0P 104476-11-1P
104476-15-5P 104476-28-0P 104476-32-6P
104476-33-7P 104476-72-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antithrombotic and neoplasm inhibitor)
RN 104476-01-9 HCAPLUS
CN Pteridine, 4,7-di-4-morpholinyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



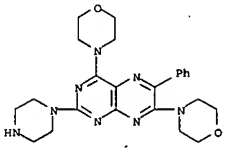
RN 104476-10-0 HCAPLUS
CN Pteridine, 6-methyl-4,7-di-4-morpholinyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



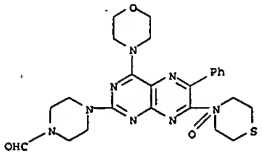
L4 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
piperazinyl)- (9CI) (CA INDEX NAME)



RN 104476-33-7 HCAPLUS
CN Pteridine, 4,7-di-4-morpholinyl-6-phenyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

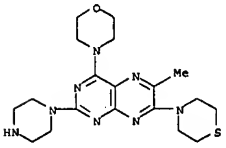


RN 104476-72-4 HCAPLUS
CN 1-Piperazinecarboxaldehyde, 4-[4-(4-morpholinyl)-7-(4-oxido-4-thiomorpholinyl)-6-phenyl-2-pteridinyl]- (9CI) (CA INDEX NAME)

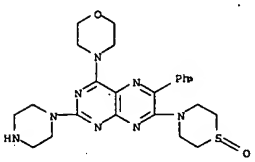


L4 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

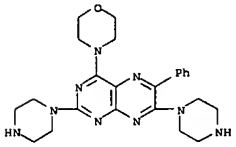
RN 104476-11-1 HCAPLUS
CN Pteridine, 6-methyl-4-(4-morpholinyl)-2-(1-piperazinyl)-7-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



RN 104476-15-5 HCAPLUS
CN Pteridine, 4-(4-morpholinyl)-7-(1-oxido-4-thiomorpholinyl)-6-phenyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

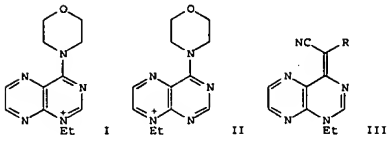


RN 104476-28-0 HCAPLUS
CN Pteridine, 4-(4-morpholinyl)-6-phenyl-2,7-di-1-piperazinyl- (9CI) (CA INDEX NAME)



RN 104476-32-6 HCAPLUS
CN 7-Pteridinamine, 4-(4-morpholinyl)-6-phenyl-N-(phenylmethyl)-2-(1-

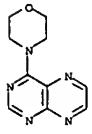
L4 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Oct 1986
GI



AB 4-Morpholinopteridine reacted with Et3OBF4 to give 1- and 8-Et salts I and
II, which added simple nucleophiles (e.g., MeOH, Et2NH) to give dihydropteridines and I reacted with RCH2CN·Et3N to give alkylidene deriva. III (R = cyano, CO2Et, CONH2, CSNH2).

ACCESSION NUMBER: 1986:533849 HCAPLUS
DOCUMENT NUMBER: 105:133849
TITLE: Reactions of N-alkylazinium cations. 3. Pteridinium salts. Synthesis, structure and reaction with simple nucleophiles
AUTHOR(S): Kazantaeva, I. V.; Charushin, V. N.; Chupakhin, O. N.;
Chernyshev, A. I.; Esipov, S. E.
CORPORATE SOURCE: Ural. Politekh. Inst., Sverdlovsk, 620002, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1985), (9), 1257-64
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 105:133849

IT 104210-24-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and quaternization of)
RN 104210-24-4 HCAPLUS
CN Pteridine, 4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

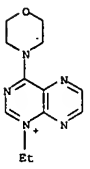


IT 104210-26-6P 104210-28-8P

L4 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with nucleophiles)
RN 104210-26-6 HCAPLUS
CN Pteridinium, 1-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 104210-25-5
CMF C12 H16 N5 O



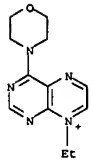
CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

RN 104210-28-8 HCAPLUS
CN Pteridinium, 8-ethyl-4-(4-morpholinyl)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

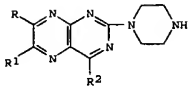
CRN 104210-27-7
CMF C12 H16 N5 O



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

L4 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Jul 1985
GI



I

AB Piperazinylpteridines I (R = phenylalkylamino, alkylamino, dialkylamino, piperidino, morpholino, thiomorpholino, 1-oxidothiomorpholino; R1 = halogen, alkoxy, alkylthio, phenylalkoxy, phenylalkylthio; R2 = dialkylamino, piperidino, morpholino, thiomorpholino, 1-oxidothiomorpholino) were prepared Thus, 2,4,6,7-tetrachloropteridine was converted to 2,6-dichloro-4,7-dimorpholinopteridine, which was treated with piperazine to give I (R = R2 = morpholino, R1 = Cl). The latter compound was treated with PhCH2SH to give I (R = R2 = morpholino, R1 = SCH2Ph) which had ED50 for the inhibition phosphodiesterase from thrombocytes and B16 tumor cells of 0.051 and 0.088 (no units) resp.

ACCESSION NUMBER: 1985:406155 HCAPLUS
DOCUMENT NUMBER: 103:6155
TITLE: 2-Piperazinopteridines with antithrombotic and metastasis-inhibiting action
INVENTOR(S): Roch, Josef; Nickl, Josef; Mueller, Erich; Narr, Berthold; Weisenberger, Johannes Maximilian; Zimmermann, Rainer; Haarmann, Walter
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

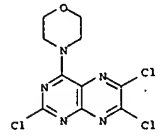
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3323932	A1	19850110	DE 1983-3323932	19830702
ES 533298	A1	19850216	ES 1984-533298	19840611
US 4560685	A	19851224	US 1984-621438	19840618
EP 134922	A1	19850327	EP 1984-106993	19840619
EP 134922	B1	19881214		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 39253	T	19881215	AT 1984-106993	19840619
DK 8403162	A	19850103	DK 1984-3162	19840628
DK 159113	B	19900903		
DK 159113	C	19910218		
JP 60025991	A	19850208	JP 1984-132187	19840628
FI 8402622	A	19850103	FI 1984-2622	19840629
FI 80454	B	19900228		
FI 80454	C	19900611		
NO 8402631	A	19850103	NO 1984-2631	19840629
NO 160920	B	19890306		
NO 160920	C	19890614		
GB 2143232	A	19850206	GB 1984-16682	19840629

L4 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

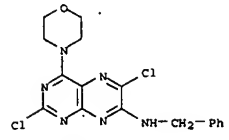
GB 2143232	B	19861105		
DD 229990	A5	19851120	DD 1984-264739	19840629
ZA 8404968	A	19860326	ZA 1984-4968	19840629
IL 72265	A	19870831	IL 1984-72265	19840629
CA 1233179	A1	19880223	CA 1984-457880	19840629
AU 8430092	A	19850103	AU 1984-30092	19840702
AU 565105	B2	19870903		
HU 34487	A2	19850328	HU 1984-2559	19840702
HU 190932	B	19861228		
ES 537785	A1	19851016	ES 1984-537785	19841120

PRIORITY APPLN. INFO.: DE 1983-3323932 A 19830702
EP 1984-106993 A 19840619

OTHER SOURCE(S): CASREACT 103:6155; MARPAT 103:6155
IT 96801-57-9P 96801-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)
RN 96801-57-9 HCAPLUS
CN Pteridine, 2,6,7-trichloro-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

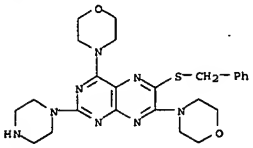


RN 96801-65-9 HCAPLUS
CN 7-Pteridinamine, 2,6-dichloro-4-(4-morpholinyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

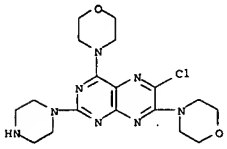


IT 96801-70-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and phosphodiesterase-inhibiting activity of)
RN 96801-70-6 HCAPLUS
CN Pteridine, 4,7-di-4-morpholinyl-6-[(phenylmethyl)thio]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

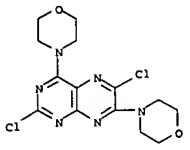
L4 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 96801-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thiolation of)
RN 96801-69-3 HCAPLUS
CN Pteridine, 6-chloro-4,7-di-4-morpholinyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

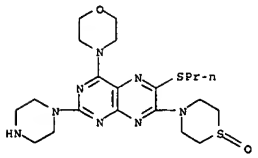


IT 96801-61-5P 96801-68-2P 96801-73-9P
96801-79-5P 96812-90-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 96801-61-5 HCAPLUS
CN Pteridine, 2,6-dichloro-4,7-di-4-morpholinyl- (9CI) (CA INDEX NAME)

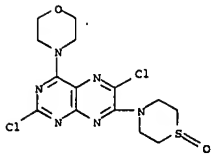


RN 96801-68-2 HCAPLUS

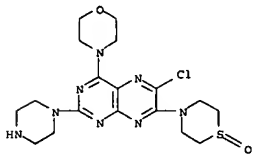
L4 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



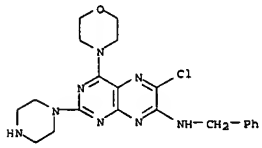
L4 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Pteridine, 2,6-dichloro-4-(4-morpholinyl)-7-(1-oxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



RN 96801-73-9 HCAPLUS
CN Pteridine, 6-chloro-4-(4-morpholinyl)-7-(1-oxido-4-thiomorpholinyl)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 96801-79-5 HCAPLUS
CN 7-Pteridinamine, 6-chloro-4-(4-morpholinyl)-N-(phenylmethyl)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



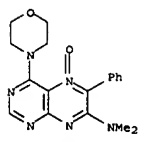
RN 96812-90-7 HCAPLUS
CN Pteridine, 4-(4-morpholinyl)-7-(1-oxido-4-thiomorpholinyl)-2-(1-piperazinyl)-6-(propylthio)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

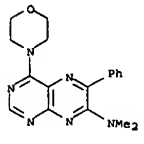
L4 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB Pteridines were prepared by reaction of chloronitropyrimidines with α-phenyl-substituted amidines. It is a useful method for preparing 4-substituted-6-phenyl-7-(N,N-dimethylamino)pteridines. The route complements the synthesis of pteridines from nitrosoaminopyrimidines and arylacetonitriles. The competition between SnAr displacement and intramol. cyclization reactions of the pyrimidine precursors is discussed.

ACCESSION NUMBER:	1979:204032 HCAPLUS
DOCUMENT NUMBER:	90:204032
TITLE:	Pteridines from α-phenyl-N,N-dimethylacetamidine
AUTHOR(S):	DeCroix, B.; Strauss, M. J.; DeFusco, A.; Palmer, D. C.
CORPORATE SOURCE:	Dep. Chem., Univ. Rouen, Rouen, Fr.
SOURCE:	Journal of Organic Chemistry (1979), 44(10), 1700-4 CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 90:204032

IT 69331-11-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 69331-11-9 HCAPLUS
CN 7-Pteridinamine, N,N-dimethyl-4-(4-morpholinyl)-6-phenyl-, 5-oxide (9CI) (CA INDEX NAME)

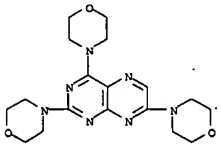


IT 69352-33-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 69352-33-6 HCAPLUS
CN 7-Pteridinamine, N,N-dimethyl-4-(4-morpholinyl)-6-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 23 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB VK 774 [33548-44-6] was the most potent of 16 dipyridamole analogs in inhibiting platelet aggregation and platelet electrophoretic mobility changes induced by ADP or noradrenaline and in suppressing white body formation in injured rabbit arterioles. No clear relation was shown between the potency of the analogs in modifying the 3 test systems and no correlation was observed between chemical configuration and activity.
ACCESSION NUMBER: 1973:52541 HCAPLUS
DOCUMENT NUMBER: 78:52541
TITLE: Assessment of antithrombotic agents. Effects of dipyridamole analogs on platelet behavior
AUTHOR(S): Hampton, J. R.; Harrison, M. J. G.; Honour, A. J.; Mitchell, J. R. A.; Prichard, J. S.
CORPORATE SOURCE: Dep. Med., Univ. Nottingham, Nottingham, UK
SOURCE: Cardiovascular Research (1972), 6(6), 696-701
CODEN: CVREAU; ISSN: 0008-6363
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 16888-13-4
RL: BIOL (Biological study)
(blood platelet aggregation inhibition by)
RN 16888-13-4 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl- (9CI) (CA INDEX NAME)



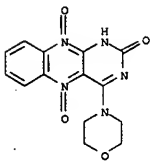
L4 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Five title compds. (I, R = r1 = Me, Et, NRR1 = piperidino, morpholino, 1-pyrrolidinyl), useful in poultry and cattlebreeding against infectious diseases and as growth-promoting agents, were prepared by successive reaction of the amidines (II) with COCl2 or ClCO2Me and a base. I had inhibiting effects on gram-pos. and gram-neg. bacteria. Thus, COCl2 was passed into a HCl-saturated suspension of II (R = R1 = Me) in C6H6 for 2 hr at
80° and the separated precipitate treated with Et3N in EtOH to give 95% I (R =
R1 = Me).
ACCESSION NUMBER: 1973:43522 HCAPLUS
DOCUMENT NUMBER: 78:43522
TITLE: Antibacterial N-substituted 4-amino-2-oxo-1,2-dihydropyrimido[4,5-b]quinoxaline 5,10-dioxides
INVENTOR(S): Seng, Florin; Ley, Kurt; Metzger, Karl Georg
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2122571	A	19721123	DE 1971-2122571	19710507
AU 7241750	A	19731108	AU 1972-41750	19720501
CA 979901	A1	19751216	CA 1972-140950	19720501
US 3814756	A	19740604	US 1972-249702	19720502
NL 7206030	A	19721109	NL 1972-6030	19720504
HU 164364	B	19740228	HU 1972-BA2738	19720504
IL 39357	A	19750522	IL 1972-39357	19720504
BE 783083	A1	19721106	BE 1972-117156	19720505
FR 2137584	A5	19721229	FR 1972-16233	19720505
FR 2137584	B1	19751226		
ZA 7203065	A	19730228	ZA 1972-3065	19720505
GB 1365442	A	19740904	GB 1972-21036	19720505
ES 402410	A1	19750401	ES 1972-402410	19720505
SU 474147	A3	19750614	SU 1972-1781756	19720505
SE 380024	B	19751027	SE 1972-5969	19720505
PL 82551	B1	19751031	PL 1972-155217	19720506
US 3864488	A	19750204	US 1973-368477	19730611

PRIORITY APPLN. INFO.: DE 1971-2122571 A 19710507
US 1972-249702 A3 19720502

IT 39067-68-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39067-68-0 HCAPLUS
CN Benzo[g]pteridin-2(1H)-one, 4-(4-morpholinyl)-, 5,10-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

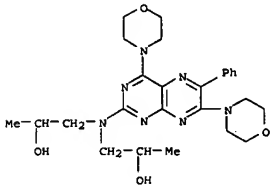


L4 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Pteridines (I) substituted by a number of basic groups (R1, R2, and R3), and
showing strong cardiovascular and coronary-dilating action, are prepared conventionally by reacting chloro- or alkylthio-substituted pteridines with appropriate amines. Heating 2,7-dichloro-4-morpholino-6-phenylpteridine for 5 hrs. with [MeCH(OH)CH2]2-NH (II) in dioxane for 5 hrs. gave 7-chloro-2-(diisopropanolamino)-4-morpholino-6-phenylpteridine (III), m. 177-9°. Refluxing 9.2 g. III with 25 ml. morpholine (IV) for 0.5 hr. and pouring into H2O gave 9.2 g. I [R1 = [MeCH(OH)CH2]2N, R2 =
R3 = morpholino, Ar = Ph] (V), m. 176-8° (aqueous MeOH and C6H6-cyclohexane). Reaction of III and pyrrolidine similarly gave I [R1 =
[MeCH(OH)CH2]2N, R2 = morpholino, R3 = pyrrolidino, Ar = Ph] (Va), m. 195-7°. 2-Methylthio-4,7-dimorpholino-6-phenylpteridine (VI), m. 255-7° was obtained from 4,7-dichloro-2-methylthio-6-phenylmorpholine. Heating 4.2 g. VI, 20 g. II, and a little CuSO4 at 190-200° for 2 hrs. gave V. 4-Ethylthio-7- chloro - 2 - (diisopropanolamino) - 6 - phenylpteridine (VII), m. 166-71°, and 4-ethylthio-2-(diisopropanolamino)-7-morpholino-6-phenylpteridine, m. 202-4°, prepared from VII and IV, were heated with IV at 170° for 15 hrs. in the presence of IV.-HCl to give V in 35% yield. Refluxing a mixture of 5.2 g. 2-(diisopropanolamino)-4-morpholino- 7 -phenoxy - 6 - phenylpteridine (VIII), m. 215-16°, with 50 ml. IV and 1 g. IV.HCl for 12 hrs. gave 3.9 g. V. Similarly VIII and pyrrolidine at 120° gave Va. The following I (R1, R2, R3, Ar, and m.p. given) were similarly prepared: [MeCH(OH)CH2]2, morpholino, 2-methylmorpholino, Ph, 189-91°; [MeCH(OH)CH2]2N, 2-methylmorpholino, morpholino, Ph, 87-95°; [MeCH(OH)CH2]2N, 2-methylmorpholino, 2-methylmorpholino, Ph, 100-40°; MeCH(OH)CH2N(CH2)2OH, 2-methylmorpholino, morpholino, Ph, 110-20°; MeCH(OH)CH2N(CH2)2OH, 2-methylmorpholino, 2-methylmorpholino, Ph, 110-20°; MeCH(OH)CH2N(CH2)2OH, 2-methylmorpholino, pyrrolidino, Ph, 55-90°; [MeCH(OH)CH2]2N, 2-methylmorpholino, 3-methylpiperidino, Ph, 95-120°; [MeCH(OH)CH2]2N, 2-methylmorpholino, piperidino, Ph, 80-110°; [MeCH(OH)CH2]2N, 2-methylmorpholino, pyrrolidino, Ph, 75-105°; HO(CH2)2NEt, morpholino, morpholino, Ph, 95-110°. I exhibit long-acting coronary-dilating action in single doses of 10-100 mg. in adults.

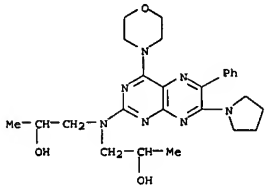
ACCESSION NUMBER: 1969:57901 HCAPLUS
DOCUMENT NUMBER: 70:57901
TITLE: Pteridine derivatives as cardiovascular agents
INVENTOR(S): Roch, Josef
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.
SOURCE: S. African, 21 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6706096			19680226	
DE 1620570			DE	
FR 1540816			FR	
FR 7821			FR	
GB 1175617			GB	
US 3557106		19710119	US	19671011
PRIORITY APPLN. INFO.:			DE	19661014

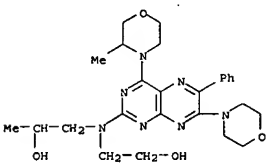
OTHER SOURCE(S): MARPAT 70:57901
IT 21638-04-0P 21665-33-8P 21665-37-2P
21665-43-0P 23028-25-3P 23028-26-4P
23028-27-5P 23028-28-6P 23211-41-8P
23211-43-0P 23211-44-1P 23211-45-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 21638-04-0 HCAPLUS
CN 2-Propanol, 1,1'-[(4,7-dimorpholino-6-phenyl-2-pteridinyl)imino]di- (8CI) (CA INDEX NAME)



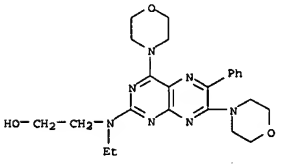
RN 21665-33-8 HCAPLUS
CN 2-Propanol, 1,1'-[[4-morpholino-6-phenyl-7-(1-pyrrolidinyl)-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)



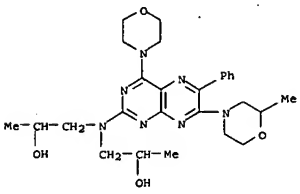
L4 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 21665-37-2 HCAPLUS
CN 2-Propanol, 1-[(2-hydroxyethyl)[4-(2-methylmorpholino)-7-morpholino-6-phenyl-2-pteridinyl]amino]- (8CI) (CA INDEX NAME)



RN 21665-43-0 HCAPLUS
CN Ethanol, 2-[(4,7-dimorpholino-6-phenyl-2-pteridinyl)ethylamino]- (8CI) (CA INDEX NAME)

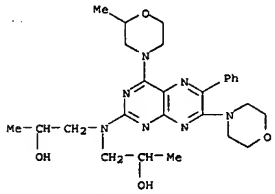


RN 23028-25-3 HCAPLUS
CN 2-Propanol, 1,1'-[[7-(2-methylmorpholino)-4-morpholino-6-phenyl-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)

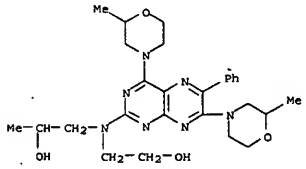


RN 23028-26-4 HCAPLUS
CN 2-Propanol, 1,1'-[[4-(2-methylmorpholino)-7-morpholino-6-phenyl-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)

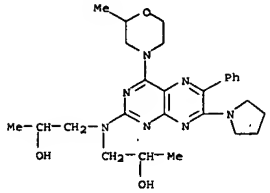
L4 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 23028-27-5 HCAPLUS
CN 2-Propanol, 1-[[4,7-bis(2-methylmorpholino)-6-phenyl-2-pteridinyl](2-hydroxyethyl)amino]- (8CI) (CA INDEX NAME)

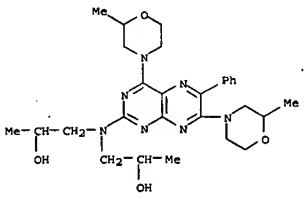


RN 23028-28-6 HCAPLUS
CN 2-Propanol, 1,1'-[[4-(2-methylmorpholino)-6-phenyl-7-(1-pyrrolidinyl)-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)

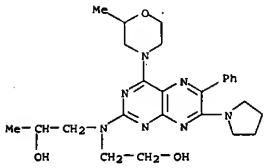


RN 23211-41-8 HCAPLUS

L4 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 2-Propanol, 1,1'-[[4,7-bis(2-methylmorpholino)-6-phenyl-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)

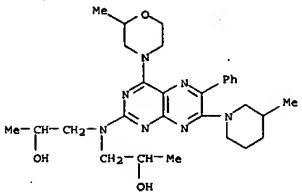


RN 23211-43-0 HCAPLUS
CN 2-Propanol, 1-[(2-hydroxyethyl)[4-(2-methylmorpholino)-6-phenyl-7-(1-pyrrolidinyl)-2-pteridinyl]amino]- (8CI) (CA INDEX NAME)

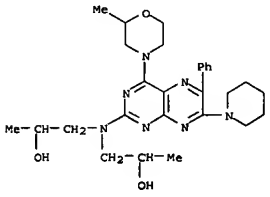


RN 23211-44-1 HCAPLUS
CN 2-Propanol, 1,1'-[[7-(3-methylpiperidino)-4-(2-methylmorpholino)-6-phenyl-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)

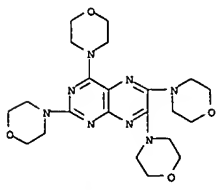
L4 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 23211-45-2 HCAPLUS
CN 2-Propanol, 1,1'-[[4-(2-methylmorpholino)-6-phenyl-7-piperidino-2-pteridinyl]imino]di- (8CI) (CA INDEX NAME)

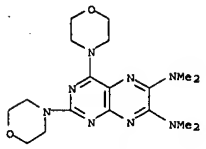


L4 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB The penetration of adenosine and of orthophosphate across the human red cell membrane can be inhibited by derivs. of pyrimido[5,4-d]pyrimidine and pteridine. The inhibitory effects are related to the chemical structure of the substituents. The most potent compds. are characterized by the presence of both strongly hydrophilic and strongly lipophilic side groups. Compds. substituted mainly by either hydrophilic or lipophilic groups exert little or no influence. Modifications of the chemical structure of the substituents cause, in general, comparable changes of the inhibitory effects on both phosphate and adenosine penetration. Implications of these findings are discussed with respect to a possible similarity of certain steps involved in the transfer of adenosine and of phosphate ions across the red cell membrane.
ACCESSION NUMBER: 1967:472173 HCAPLUS
DOCUMENT NUMBER: 67:72173
TITLE: Influence of pyrimidopyrimidine and pteridine derivatives on the phosphate and adenosine permeability of human erythrocytes
AUTHOR(S): Gerlach, Eckehart; Deuticke, B.; Koss, Friedrich W.
CORPORATE SOURCE: Freiburg/Br., Germany
SOURCE: Arzneimittel-Forschung (1965), 15, 558-63
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
IT 607-41-0 633-74-9 16888-09-8
16888-10-1 16888-13-4
RL: BIOL (Biological study)
(adenosine and phosphate absorption response to, in erythrocytes)
RN 607-41-0 HCAPLUS
CN Pteridine, 2,4,6,7-tetra-4-morpholinyl- (9CI) (CA INDEX NAME)

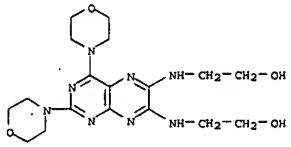


RN 633-74-9 HCAPLUS
CN 6,7-Pteridinediamine, N,N,N',N'-tetramethyl-2,4-di-4-morpholinyl- (9CI) (CA INDEX NAME)

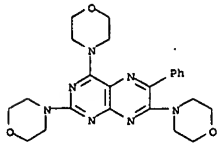
L4 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 16888-09-8 HCAPLUS
CN Ethanol, 2,2'-[(2,4-di-4-morpholinyl-6,7-pteridinediyl)diimino]bis- (9CI) (CA INDEX NAME)

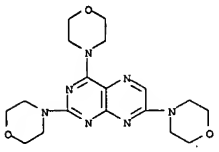


RN 16888-10-1 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl-6-phenyl- (9CI) (CA INDEX NAME)

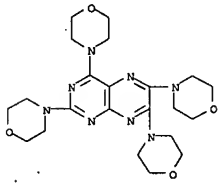


RN 16888-13-4 HCAPLUS
CN Pteridine, 2,4,7-tri-4-morpholinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

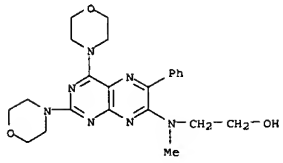


L4 ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB Dipyridamole (2,6-bis[diethanolamino]-4,8-dipiperidinopyrimido[5,4-d]pyrimidine) at 10-4M competitively inhibited adenosine deaminase in guinea pig myocardial tissue homogenates in vitro. Expts. with other pyrimidopyrimidine and pteridine derivs. also showed a remarkable correlation between the inhibitory effect of these compds. on adenosine deaminase, the extent of adenosine accumulation in the ischemic heart, and the increase of coronary blood flow. The coronary dilating effects of dipyridamole and related compds. thus probably results from the vasoactive action of endogenous adenosine which accumulates as a consequence of the inhibition of adenosine deaminase. 35 references.
ACCESSION NUMBER: 1966:459681 HCAPLUS
DOCUMENT NUMBER: 65:59681
ORIGINAL REFERENCE NO.: 65:11151g-h
TITLE: Competitive inhibition of adenosine deaminase as a possible cause of the coronary dilating action of a pyrimidopyrimidine compound
AUTHOR(S): Deuticke, B.; Gerlach, E.
CORPORATE SOURCE: Univ. Freiburg/Br., Germany
SOURCE: Arch. Pharmakol. Exptl. Pathol. (1966), 255(1), 107-19
DOCUMENT TYPE: Journal
LANGUAGE: German
IT 607-41-0, Pteridine, 2,4,6,7-tetramorpholino- 13120-22-4, Ethanol, 2-[(2,4-dimorpholino-6-phenyl-7-pteridinyl)methylamino]- 13144-59-7, Ethanol, 2-[(2,4-dimorpholino-6-phenyl-7-pteridinyl)ethylamino]-
(adenosine deaminase inhibition by, heart circulation and)
RN 607-41-0 HCAPLUS
CN Pteridine, 2,4,6,7-tetra-4-morpholinyl- (9CI) (CA INDEX NAME)

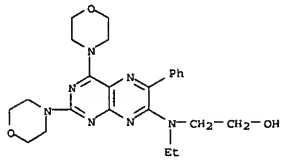


RN 13120-22-4 HCAPLUS
CN Ethanol, 2-[(2,4-di-4-morpholinyl-6-phenyl-7-pteridinyl)methylamino]- (9CI) (CA INDEX NAME)

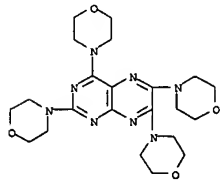
L4 ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 13144-59-7 HCAPLUS
CN Ethanol, 2-[(2,4-dimorpholino-6-phenyl-7-pteridinyl)ethylamino]- (7CI, 8CI) (CA INDEX NAME)

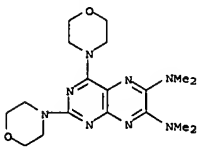


L4 ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB In 60 tests involving 21 dogs the effect of basically substituted pteridines on the hepatic circulation was continuously recorded by means of a Hensel heat conductivity probe. In 9 of the expts. the substituted pteridines were combined with adenosine or Laevadosin. In all tests, an increase in hepatic circulation was recorded. By simultaneous determination of O contents in the femoral artery, portal vein, and hepatic vein, an increase in the blood supply to the entire splanchnic region was established. 57 references.
ACCESSION NUMBER: 1965:441732 HCAPLUS
DOCUMENT NUMBER: 63:41732
ORIGINAL REFERENCE NO.: 63:7521a-b
TITLE: Pharmacological effect of basically substituted pteridines on the hepatic circulation
AUTHOR(S): Stoeckler, Ch. E.; Fricke, G.
CORPORATE SOURCE: Chir. Univ. Klin., Goettingen, Germany
SOURCE: Arzneimittel-Forschung (1965), 15(4), 415-24
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
IT 607-41-0, Pteridine, 2,4,6,7-tetramorpholino- 633-74-9, Pteridine, 6,7-bis(dimethylamino)-2,4-dimorpholino-
(circulation response to, in liver)
RN 607-41-0 HCAPLUS
CN Pteridine, 2,4,6,7-tetra-4-morpholinyl- (9CI) (CA INDEX NAME)



RN 633-74-9 HCAPLUS
CN 6,7-Pteridinediamine, N,N,N',N'-tetramethyl-2,4-di-4-morpholinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB The title compds. exhibited coronary dilative, sedative, antipyretic, and analgesic activities. 2,4,6,7-Tetrachloropteridine (Ia) and piperidine in dioxane gave 2,4-dichloro-6,7-dipiperidinopteridine (I), m. 186-7°. A mixture of 7.4 g. I, 5 ml. morpholine, 120 ml. dioxane were refluxed 1 hr. and 250 ml. H2O added. Filtration gave 8.1 g. 2-morpholino-4-chloro-6,7-dipiperidinopteridine, m. 158-9°. By similar methods 7.4 g. 2,4-dichloro-6,7-dimorpholinopteridine (II), m. 208-9°, and 25 ml. 25% MeNH2 in absolute EtOH at 100° for 1 hr. gave 5 g. 2-methylamino-4-chloro-6,7-dimorpholinopteridine, m. 224-6°; 5.7 g. 2,4-dichloro-6,7-bis(dimethylamino)pteridine, m. 247-8°, and 17.2 g. morpholine 2 hrs. at 200° gave 7.7 g. 2,4-dimorpholino-6,7-bis(dimethylamino)pteridine, m. 191-2°; 7.4 g. II, 20 ml. 45% Me2NH in absolute EtOH and 0.1 g. CuSO4 2 hrs. at 200° gave 6.8 g. 2,4-bis(dimethylamino)-6,7-dimorpholinopteridine, m. 164-5°; 10.8 g. Ia refluxed for 1 hr. with 25.5 g. piperidine and 150 ml. dioxane gave 16 g. 4-chloro-2,6,7-tripiperidinopteridine, m. 147-8°. A mixture of 4.5 g. 2,4,6,7-tetrabromopteridine and 25 ml. morpholine was heated 2 hrs. at 200-220°, dissolved in dilute HCl, basified, concentrated, and the residue digested with warm C6H6. Filtration and concentration gave 4 g. 2,4,6,7-tetramorpholinopteridine, m. 187-8°. By methods similar to the first experiment 8.3 g. 2-morpholino-4-chloro-6,7-dipiperidinopteridine and 10 ml. Me2NH in absolute EtOH 2 hrs. at 200° gave 8 g. 2-morpholino-4-dimethylamino-6,7-piperidinopteridine, m. 141-2°; 4.2 g. 4-chloro-2,6,7-trimorpholinopteridine (IIa) and 20 ml. diethanolamine for 30 min. at 200° gave 1 g. 4-diethanolamino-2,6,7-trimorpholinopteridine, m. 224-5°; 7.8 g. 2-(β-hydroxyethylamino)-4-chloro-6,7-dipiperidinopteridine with 15 ml. morpholine and 1 ml. aqueous CuSO4 solution 2 hrs. at 200° gave 6 g. 2-(β-hydroxyethylamino)-4-morpholino-6,7-dipiperidinopteridine, m. 168-70°. Piperidine (10 ml.) was added slowly with cooling to 5.6 g. 2-methylamino-4,6,7-trichloropteridine (III) in 150 ml. dioxane. The mixture was poured into 500 ml. H2O to give 2 g. 2-methylamino-4-chloro-6,7-dipiperidinopteridine, m. 240-2°. A mixture of 5.6 g. III and 20 ml. morpholine was heated 2 hrs. at 200° and treated in a manner similar to the first experiment to give 6.5 g. 2-methylamino-4,6,7-trimorpholinopteridine, m. 254-6°. 2,4,7-Trihydroxy-6-phenylpteridine was refluxed with POCl3 to give 2,4,7-trichloro-6-phenylpteridine (IV), m. 157-8°. IV (3.1 g.), 20 ml. morpholine, and 0.5 g. NaI were heated 2 hrs. at 200° and treated as before to give 4.5 g. 2,4,7-trimorpholino-6-phenylpteridine, m. 201-2°. IIa (8.4 g.) and 0.5 g. Na in 300 ml. absolute EtOH were refluxed 2 hrs., filtered, cooled and added to H2O to give 7.3 g. 4-ethoxy-2,6,7-trimorpholinopteridine, m. 198-200°. Similarly, IIa with Na and ethyleneglycol in dioxane gave 4-(β-ethoxyethoxy)-2,6,7-trimorpholinopteridine, m. 153-4°. To a melt of 10 g. PhOH and 1 g. NaOH was added 4.2 g. IIa. After 10 min. at 180-200°, dilute NH3 gave 1.2 g. 4-phenoxy-2,6,7-trimorpholinopteridine, m. 239-40°. A solution of 5 ml. EtSH in 20 ml. 4N NaOH was added dropwise to 6 g. IIa in

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
200 ml. boiling HCONMe2. After refluxing 30 min., the mixt. was concd. to 50 ml. to yield 4 g. 4-ethylthio-2,6,7-trimorpholinopteridine, m. 193-5°. A mixt. of 4.2 g. IIa, 5 ml. PhSH, 2 ml. C5H5N, and 50 ml. HCONMe2 was refluxed 1.5 hrs. and concd. in vacuo. The residue was digested with NH3 to give 3.5 g. 4-phenylthio-2,6,7-trimorpholinopteridine, m. 186-7°. 2-Phenyl-4,6,7-trihydroxypteridine, PCl5, and POCl3 were heated under pressure to give 2-phenyl-4,6,7-trichloropteridine (V), m. 187-9°. V (3.1 g.) and 60 ml. morpholine gave, after refluxing, 4.4 g. 2-phenyl-4,6,7-trimorpholinopteridine, m. 209-10°. A mixt. of 4 g. 2-ethylthio-4-chloro-6,7-dimorpholinopteridine and 20 ml. pyrrolidine at 200° for 2 hrs. gave 1.7 g. 2-ethylthio-4-pyrrolidino-6,7-dimorpholinopteridine, m. 118-20°. Similarly, 1.5 g. 2(4)-hydroxy-4(2)chloro-6,7-dimorpholinopteridine and 15 ml. morpholine gave 1 g. 2(4)-hydroxy-4(2),6,7-trimorpholinopteridine, m. 242-3°. 2,4,7-Trichloropteridine with Me2NH in abs. EtOH and dioxane with cooling gave 2,4-dichloro-7-dimethylaminopteridine, (VI), m. 172-5°. (VI) (2.4 g.) with 15 ml. morpholine for 2 hrs. at 200° gave 2.4 g. 2,4-dimorpholino-7-dimethylaminopteridine, m. 194-5°. 2,4,7-Trichloro-6-carboxymethylpteridine and morpholine on refluxing in dioxane gave 2,7-dimorpholino-4-chloro-6-carboxymethylpteridine (VII), m. 150°. VII (2 g.) and 15 ml. pyrrolidine for 2 hrs. at 200° gave 1.2 g. 2,7-dimorpholino-4-pyrrolidino-6-carboxymethylpteridine, m. 115-17°. By similar methods a large number of substituted pteridines were prepd. (2-substituent, 4-substituent, 6-substituent, 7-substituent, % yield, and m.p. given): methyl(β-hydroxyethyl)amino, chloro, morpholino, morpholino, 70, 203-4°; morpholino, Cl, benzylamino, benzylamino, 87, 201-2°; morpholino, Cl, Et2N, Et2N, 56, 115-16°; β-hydroxyethylamino, Cl, piperidino, piperidino, 95, 175-7°; piperidino, Cl, morpholino, morpholino, 89, 219-20°; Me2NH, Cl, morpholino, morpholino, 72, 245-6°; morpholino, morpholino, anilino, anilino, 86, 231°; morpholino, morpholino, β-hydroxyethylamino, β-hydroxyethylamino, 65, 231-2°; morpholino, morpholino, piperidino, piperidino, 97, 183-4°; morpholino, morpholino, amino, amino, 49, 294-5°; morpholino, morpholino, MeNH, MeNH, 86, 233-5°; morpholino, morpholino, (β-hydroxyethyl)methylamino, (β-hydroxyethyl)methylamino, 46, 188-9°; morpholino, Me2N, morpholino, morpholino, 93, 227-9°; morpholino, methyl(β-hydroxyethyl)amino, morpholino, morpholino, 64, 273-4°; methyl(β-hydroxyethyl)amino, morpholino, morpholino, morpholino, 87, 134-6°; piperidino, Me2N, morpholino, morpholino, 90, 181-2°; piperidino, morpholino, morpholino, morpholino, 85, 163-75°; piperidino, morpholino, piperidino, piperidino, 88, 180-1°; Me2N, morpholino, morpholino, morpholino, 87, 190-1°; MeNH, Cl, morpholino, morpholino, 67, 222-4°; morpholino, morpholino, morpholino, H, 65, 276-7°; benzyl, morpholino, morpholino, morpholino, 43, 195°; 4-phenyl-1-piperazinyl, Cl, piperidino, piperidino, 37, 99-101°; 4-phenyl-1-piperazinyl, morpholino, piperidino, piperidino, 40, 106-9°; 4-phenyl-1-piperazinyl, 4-phenyl-1-piperazinyl, piperidino, piperidino, 51, 96-9°; morpholino, SCH2CO2H, morpholino, morpholino, 25, 265-7°; morpholino, morpholino, Me, morpholino, 80, 197-8°; hexamethylenimino, Cl, NMe2, NMe2, 92, 135-7°; hexamethylenimino, morpholino, NMe2, NMe2, 63, 163-4°; morpholino, OC2H4NEt2, morpholino, morpholino, 60, 133-5°; 3-morpholinopropylamino, Cl, morpholino, morpholino, 88, 249-51°; morpholino, morpholino, NMe2, H, 52, 162-4°; morpholino,

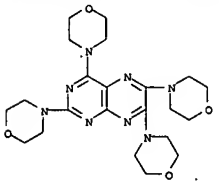
L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
morpholino, H, morpholino, 80, 279-81°; methyl(β-hydroxyethyl)amino, Br, morpholino, morpholino, 53, 185-7°; phenyl, morpholino, NMe2, NMe2, 63, 254-5°; morpholino, morpholino, phenyl, morpholino, 73, 202-3°; morpholino, piperidino, NMe2, NMe2, 92, 151-3°; piperidino, morpholino, NMe2, NMe2, 87, 164-6°; SH, morpholino, morpholino, morpholino, 21, 300-2°; NHCH2CH:CH2, Cl, morpholino, morpholino, 76, 194-5°.

ACCESSION NUMBER: 1960:129237 HCAPLUS
DOCUMENT NUMBER: 54:129237
ORIGINAL REFERENCE NO.: 54:24824f-i,24825a-i,24826a-b
TITLE: Tri- and tetra-substituted pteridine derivatives
INVENTOR(S): Roch, Josef
PATENT ASSIGNEE(S): Dr. Karl Thomae G. m. b. H.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2940972		19600614	US 1958-744353	19580625
DE 1088969			DE	
GB 858635			GB	

IT 607-41-0P, Pteridine, 2,4,6,7-tetramorpholino- 633-74-9P, Pteridine, 6,7-bis(dimethylamino)-2,4-dimorpholino- 16888-09-8P, Ethanol, 2,2'-[(2,4-dimorpholino-6,7-pteridinediyl)diimino]di- 16888-10-1P, Pteridine, 2,4,7-trimorpholino-6-phenyl- 16888-13-4P, Pteridine, 2,4,7-trimorpholino- 100862-88-2P, Pteridine, 6,7-diamino-2,4-dimorpholino- 101271-20-9P, Pteridine, 6,7-bis(methylamino)-2,4-dimorpholino- 101865-67-2P, 2-Pteridinethiol, 4,6,7-trimorpholino- 102165-33-3P, Pteridine, 6-methyl-2,4,7-trimorpholino- 102166-00-7P, Pteridine, 2-methylamino-4,6,7-trimorpholino- 102241-08-7P, Pteridine, 6,7-bis(dimethylamino)-4-morpholino-2-phenyl- 102811-22-3P, Pteridine, 2,4-dimorpholino-6,7-dipiperidino- 102813-60-5P, Pteridine, 4,6,7-trimorpholino-2-piperidino- 102874-12-4P, Pteridine, 4-morpholino-2,6,7-tripiperidino- 102895-85-2P, Pteridine, 2-benzyl-4,6,7-trimorpholino- 102945-89-1P, Ethanol, 2-[(4-morpholino-6,7-dipiperidino-2-pteridinyl)amino]- 103169-91-1P, Pteridine, 4-morpholino-2-(4-phenyl-1-piperazinyl)-6,7-dipiperidino- 103212-13-1P, Pteridine, 6,7-dianilino-2,4-dimorpholino- 108980-32-1P, Pteridine, 7-dimethylamino-2,4-dimorpholino- 108980-84-3P, Pteridine, 6-dimethylamino-2,4-dimorpholino- 109746-79-4P, Pteridine, 6,7-bis(dimethylamino)-4-morpholino-2-piperidino- 109806-97-5P, Pteridine, 2,4,6-trimorpholino- 109806-98-6P, 2-Pteridinol, 4,6,7-trimorpholino-(?) 110245-46-0P, Pteridine, 2-dimethylamino-4,6,7-trimorpholino- 112535-31-6P, Ethanol, 2,2'-[(2,4-dimorpholino-6,7-pteridinediyl)bis(methylimino)]di- 113183-21-4P, Pteridine, 4,6,7-trimorpholino-2-phenyl- 114201-72-8P, Ethanol, 2-[(methyl-4,6,7-trimorpholino-2-pteridinyl]amino]- 119821-54-4P, Pteridine, 6,7-bis(dimethylamino)-2-hexahydro-1H-azepin-1-yl-4-morpholino- RL: PREP (Preparation)
(preparation of)
RN 607-41-0 HCAPLUS
CN Pteridine, 2,4,6,7-tetra-4-morpholinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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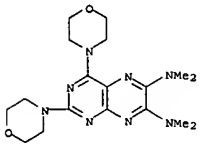
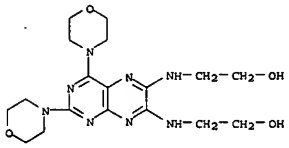


RN 16888-09-8 HCAPLUS
CN Ethanol, 2,2'-[(2,4-di-4-morpholinyl-6,7-pteridinediyl)diimino]bis- (9CI) (CA INDEX NAME)



RN 16888-10-1 HCAPLUS
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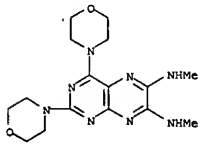
L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 101865-67-2 HCAPLUS
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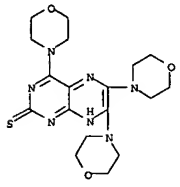

RN 102165-33-3 HCAPLUS
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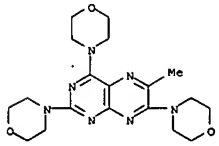
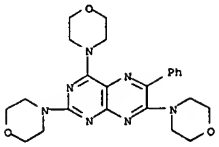


RN 102166-00-7 HCAPLUS
CN Pteridine, 2-methylamino-4,6,7-trimorpholino- (6CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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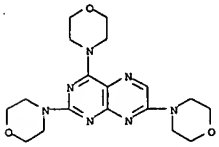
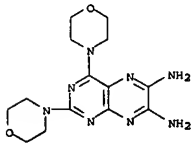


RN 100862-88-2 HCAPLUS
CN Pteridine, 6,7-diamino-2,4-dimorpholino- (6CI) (CA INDEX NAME)



RN 101271-20-9 HCAPLUS
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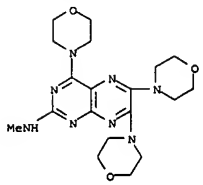
L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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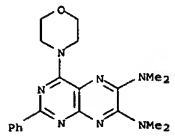
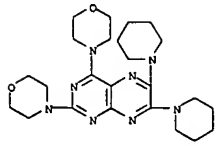
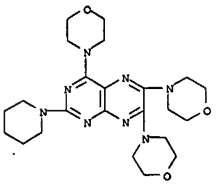


RN 102811-22-3 HCAPLUS
CN Pteridine, 2,4-dimorpholino-6,7-dipiperidino- (6CI) (CA INDEX NAME)



RN 102813-60-5 HCAPLUS
CN Pteridine, 4,6,7-trimorpholino-2-piperidino- (6CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 102874-12-4 HCAPLUS
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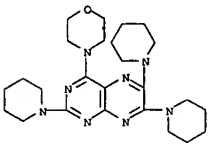

RN 102895-85-2 HCAPLUS
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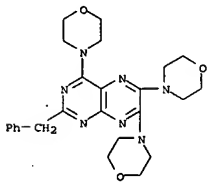
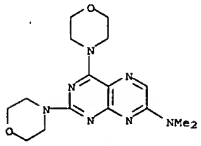
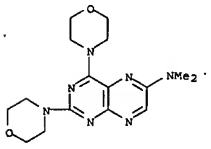


RN 102945-89-1 HCAPLUS
CN Ethanol, 2-[(4-morpholino-6,7-dipiperidino-2-pteridinyl)amino]- (6CI) (CA
INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 108980-84-3 HCAPLUS
CN Pteridine, 6-dimethylamino-2,4-dimorpholino- (6CI) (CA INDEX NAME)



RN 109746-79-4 HCAPLUS
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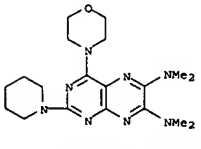
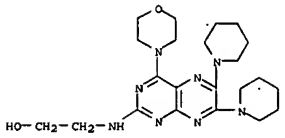
INDEX NAME)



RN 109806-97-5 HCAPLUS
CN Pteridine, 2,4,6-trimorpholino- (6CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 103169-91-1 HCAPLUS
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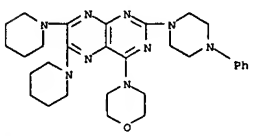
(6CI)
(CA INDEX NAME)



RN 103212-13-1 HCAPLUS
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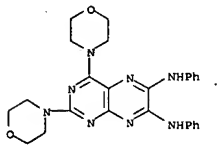
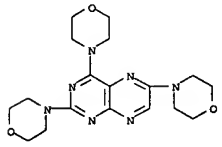


RN 108980-32-1 HCAPLUS
CN Pteridine, 7-dimethylamino-2,4-dimorpholino- (6CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 109806-98-6 HCAPLUS
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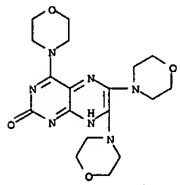

RN 110245-46-0 HCAPLUS
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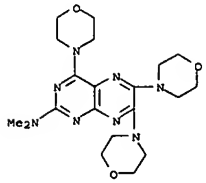
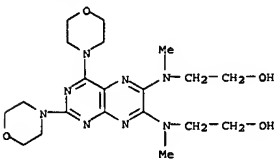


RN 112535-31-6 HCAPLUS
CN Ethanol, 2,2'-[(2,4-dimorpholino-6,7-pteridinediyl)bis(methylimino)]di-
(6CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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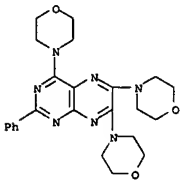

RN 114201-72-8 HCAPLUS
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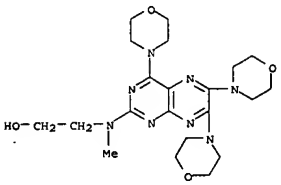

RN 119821-54-4 HCAPLUS
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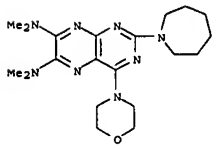
6,7-bis(dimethylamino)-2-hexahydro-1H-azepin-1-yl-4-morpholino-

L4 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(6CI) (CA INDEX NAME)



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ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB N:C(NXY).N:C(NX1Y1).C:C.N:CR.CR1:N (I), active against schistosomiasis in exptl. animals, were prepared, where X and X1 are alkyl, Y and Y1 are H or alkyl, and NXY or NX1Y1 when joined together represent a heterocyclic ring, and R and R1 are H or Ph which may be substituted by halogen or alkoxy groups of not more than 4 C atoms. 2,4-Bis(methylamino)-5,6-diaminopyrimidine 6.8, benzil 9, and EtOH 180 parts refluxed 5 hrs. in an N atmospheric, the solution cooled, and the precipitate filtered off gave I (NXY = NX1Y1 = NHMe, R = R1 = Ph), m. 261°. Similarly were prepared the following I (NXY, NX1Y1, R, R1, and m.p. given): NHMe, NHMe, C6H4Cl-o, C6H4Cl-o, 263°; NHMe, NHMe, C6H4Cl-m, C6H4Cl-m, 254°; NHMe, NHMe, C6H4Cl-p, C6H4Cl-p, 323°; NHMe, NMe2, Ph, Ph, 306°; NMe2, NHMe, Ph, Ph, 210°; NMe2, NMe2, Ph, Ph, 212°; NMe2, NEt2, Ph, Ph, 169°; NMe2, morpholino, Ph, Ph, 216°; NMe2, NHCHMe2, Ph, Ph, 218°; NMe2, NHEt, Ph, Ph, 181°; NMe2, NHBu, Ph, Ph, 128°; NMe2, piperidino, Ph, Ph, 207°; NMe2, NHPr, Ph, Ph, 240°; NEt2, NHMe, Ph, Ph, 229°; NHEt, NHMe, Ph, Ph, 249°; piperidino, NHMe, Ph, Ph, 204°; NHMe, NHMe, C6H4OMe-p, C6H4OMe-p, 260°; NHMe, NHMe, H, Ph, 255°; NMe2, NMe2, Ph, H, 191°; NMe2, NHMe, Ph, C6 H4Cl-p, 239°.
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PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
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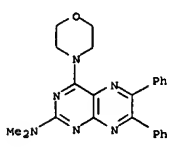
IT 102748-68-5P, Pteridine, 2-dimethylamino-4-morpholino-6,7-diphenyl-
RL: PREP (Preparation)
(preparation of)
RN 102748-68-5 HCAPLUS
CN Pteridine, 2-dimethylamino-4-morpholino-6,7-diphenyl- (6CI) (CA INDEX NAME)



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ED Entered STN: 22 Apr 2001
GI For diagram(a), see printed CA Issue.
AB cf. C.A. 46, 2082g. Several derivs. of 2,4-(H2N)2-Y (in this abstract Y = pteridine) possess antimalarial activity (Potter and Henshall, C.A. 51, 1974h). A series of 2,4,6,7-(H2N)2Ph2-Y were prepared in which the H2N groups were progressively substituted by Me. Antimalarial activity was immediately lost, but the compds. were active against exptl. schistosomiasis in mice. Further modifications of the substituents always lowered the activity. Only a few compds. showed any appreciable activity.
2,4,6-Me2N-(HO)2-Z (in this abstract Z = pyrimidine) ground to pass a 30-mesh sieve, added with stirring during 45 min. to 280 cc. AcOH and 65 cc. HNO3 (d. 1.5) at 20-5°, stirred an addnl. 45 min., the mixture poured into 1350 cc. H2O, the solid separated, washed free from acid, and dried gave 81 g. 5-O2N derivative (I). I (5 g.), 60 cc. POCl3, and 20 cc. PhNMe2 heated to 105° (bath temperature), after the vigorous reaction the heating continued 1 hr., excess POCl3 removed in vacuo, the residue treated with 200 g. ice, the suspension extracted with four 50-cc. portions of Et2O, the combined exts. dried, filtered, evaporated, and the residue crystallized from petr. ether (b. 60-80°) gave 3.7 g. 4,6-Cl2 compound (II), m. 117-20°. II (14 g.), 90 cc. C6H6, and 10 cc. aqueous NH3 (d. 0.880) shaken overnight, the mixture filtered, and the residue (4.2 g.) crystallized twice from dioxane gave the 4,6-(H2N)2 compound, m. 249-50°; evaporation of the filtrate gave a residue which, after chromatography on 120 g. Al2O3 in 30 cc. C6H6 and crystallization from EtOAc-petr. ether afforded 0.5 g. 4-H2N compound, m. 132°. To 91 g. Na in 2 l. MeOH was added 509 g. [MeHNC(:NH)NH2]2.H2SO4, the mixture refluxed 30 min. with stirring, CH2(CO2Et)2 added, the heating continued 6 hrs., the mixture cooled, diluted with 5 l. H2O, treated with C, filtered, the filtrate acidified to litmus with AcOH, and the precipitate collected to give 183 g. 2,4,6-MeHN(HO)2-Z (III); the mother liquors deposited 15 g. presumably 2-amino-1,4,5,6-tetrahydro-1-methyl-4,6-dioxo-Z, m. above 360°. III (93g.) and 510 g. POCl3 refluxed 1 hr., the mixture filtered through sintered glass, the filtrate poured on 2250 cc. 32% aqueous NaOH and ice, the separated solid collected, washed with H2O, and crystallized from MeOH gave 88 g. 2,4,6-(MeHN)Cl2-Z (IV), m. 164°. IV (130 g.) heated 12 hrs. with NaOMe (from 168 g. Na in 570 cc. MeOH), the solution cooled, the precipitate collected, washed with H2O, and crystallized from MeOH yielded 95 g. 4,6,2-Cl(MeO)(MeHN)-Z, m. 153°. Similarly was prepared 81% 4,6,2-Cl(MeO)(Me2N)-Z (VI), m. 62° (after sublimation at 55°/0.1 mm.), from 4,6,2-Cl2(Me2N)-Z at room temperature VI (10 g.) heated 30 min. on a steam bath with 50 cc. HCl, the solution cooled, the product collected, and purified by solution in aqueous alkali, treatment with C, and repptn. with AcOH gave 5.5 g. 6-HO compound, m. 265° (decomposition). Similarly was obtained from VI 95%

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4,6,2-Cl(HO)(Me2N)-Z (VII), m. 217°. 4,6,2-ClMe(H2N)-Z (28.7 g.) and 78 cc. 19.5% alc. Me2NH heated 17 hrs. at 110-20° gave 172 g. 4-Me2N deriv., m. 172° (from C6H6). Ph(H2N)CHCOPh.HCl (47 g.) dissolved in 750 cc. H2O. basified at 0° with aq. NH3, the base collected, sucked as dry as possible, added to 35 g. 2,4,6-Cl3-Z (VIII) in
750 cc. EtOH, the mixt. set aside 2 days at room temp., the ppt. (12 g.) collected, and crystd. from EtOH gave α-(2,4-dichloro-6-pyrimidylamino)deoxybenzoin (IX), m. 165°. p-ClC6H4CHBzNH2 (X) (28.5 g.) converted to the base, the latter treated as above with 9 g. VIII, the crude product refluxed 3 hrs. with 10 cc. 19.5% alc. Me2NH and 10 cc. EtOH, the soln. evapd. to 0.5 its vol., and the solid recrystd. from MeOH gave ω-(4-chloro-2-dimethylamino-6-pyrimidyl-amino)-ω-(p-chlorophenyl)acetophenone, m. 151-2°; the mother liquors gave the 6-Me2N isomer, m. 181-2° (from EtOH), and a small amt. of another compd. believed to be
2,5-di(p-chlorophenyl)-3,6-diphenylpyrazine, m. 239-40°. 4,6,2-Cl2(H2N)-Z (XI) (33 g.) heated 3 hrs. with 175 cc. 19.5% alc. Me2NH, after the initial reaction had subsided the soln. cooled, the ppt. (24 g.) collected, and crystd. from MeOH and then from C6H6 gave 4,2,6-Cl(H2N)(Me2N)-Z, m. 164-5°. Similarly were obtained in 70% yield from the appropriate deriv. of XI and an alc. soln. of H2NCH2CO2Et, Et 4-chloro-2-methylamino-6-pyrimidylaminoacetate (XII), m. 167°, and Et 4-chloro-2-dimethylamino-6-pyrimidylamino-acetate, m. 121°. 2,4,6-Cl2(Me2N)-Z (36 g.), 200 cc. EtOH, and 50 cc. 70% aq. EtNH2 refluxed 6 hrs., EtOH removed, the mixt. dild. with H2O, extd. with Et2O, the ext. dried, Et2O removed, the residue dissolved in 70 cc. abs. EtOH, 9 cc. concd. H2SO4 added (the mixt. acid to Congo red), and dry
Et2O added to a permanent turbidity gave 34 g. 4,6,2-Cl(EtNH)(MeNH)-Z sulfate, m. 148° (from EtOH-Et2O). The following compds. were prepd. similarly: 4,2,6-Cl(Me2N)(MeNH)-Z, m. 78° (from petr. ether); 4,2,6-Cl(Et2N)(MeNH)-Z sulfate, m. 148-9° (from EtOH-Et2O); 4-chloro-6-methylamino-2-piperidino-Z, m. 118° (from MeOH); 4,6,2-Cl(MeNH)(Me2NCH2CH2NH)-Z, m. 99° (from EtOAc-petr. ether). To 17.5 g. VII in 500 cc. H2O contg. 60 cc. 2N NaOH and 12.6 g. NaHCO3 was
added 4-ClC6H4N2Cl (XIII) [from 12.75 g. 4-ClC6H4NH2 (XIV)], the soln. stirred overnight, the ppt. collected, washed with H2O, EtOH, and Et2O, and crystd. from dioxane to give 20 g. 5-p-ClC6H4N2 deriv. (XV), m. 220-2° (decompn.). 4,6,2,5-Cl(HO)(MeNH)(p-ClC6H4N2)-Z was obtained similarly but could not be purified without decompn. XIII (500 cc. 0.025M) and 46 g. NaOAc.3H2O (XVI) added with stirring to 3.8 g. 6,4,2-Me(HO)(Me2N)-Z in 500 cc. H2O, after 16 hrs. the ppt. collected, washed, dried in air, and recrystd. from BuOH gave 5.5 g. 5-(p-ClC6H4N2) deriv., m. 216-17°. XIII (50 cc. 0.025M) and 40 g. XVI added with stirring to 5.0 g. 4,2,6-Cl(Me2N)2-Z in 70 cc. AcOH, dild. with 200 cc. H2O, after 48 hrs. stirring the solid collected, washed with H2O, and crystd. twice from EtOH gave 5 g. 5-(p-ClC6H4N2) deriv. (XVII), m. 91°. The following N.CX:N.CW:C(N:NR).CY (XVIII) (W = Cl) were prepd. (X, Y, R, m.p., crystn. solvent, % yield given): NH2, NHMe, p-ClC6H4, 255°, HCONMe (XIX), 47; NH2, NMe2, p-ClC6H4, 204°, XIX-EtOH, 65; NHMe, NH2, p-ClC6H4, 272° (decompn.), XIX, 90; NHMe, NHMe, p-ClC6H4, 272°, XIX-EtOH, 95; NHEt, NHMe, p-ClC6H4, 214°, BuOH, 75; NMe2, NH2, p-ClC6H4, 229°, BuOH, 90; NMe2,

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NHMe, Ph, 163°, EtOH, 78; NMe2, NHMe, p-ClC6H4, 183°, BuOH, 90; HNCH2CH2NMe2, NHMe, p-ClC6H4, 158°, EtOH, 50.
6,4,2,5-Cl(H2N)(Me2N)(p-ClC6H4N2)-Z (XX) (2 g.) and 40 cc. satd. alc. NH3
heated 36 hrs. at 150-60°, the soln. cooled, and the product (1.75 g.) crystd. from BuOH gave 6-H2N compd., m. 272-3° [HCl salt, m. 301° (decompn.) (from 80% HCO2H) (prepd. from XIII and 4,6,2-(H2N)2(Me2N)-Z in AcOH)]. Similarly were prepd. the following XVIII
(W = NH2, R = p-ClC6H4) (X, Y, m.p., crystn. solvent, % yield given): NH2,
NHMe, 213°, BuOH, 40 and 80; NH2, NMe2, 205°, XIX-H2O, 96; NH2, NH(CH2)3NEt2, 139°, EtOH-H2O, 44; NHMe, NH2, 241°, BuOH, 70; NHMe, NHMe, 197°, EtOAc, 85 and 92; NHMe, NMe2, 184°, XIX-H2O, 90 and 79; NHEt, NHMe, 161°, BuOH, 80; NMe2, NHMe, 193°, BuOH, 90; NMe2, NMe2, 203°, BuOH, 95 and 93; NMe2, piperidino, 175°, BuOH, 86; NMe2, morpholino, 183°, BuOH, 91; NMe2, NH(CH2)2NEt2, 150°, petr. ether, 44; NH(CH2)2NMe2, NHMe, 144°, petr. ether, 90. XVII (5 g.), 100 cc. XIX, and 20 cc. 10% alc. NH3 heated 64 hrs. at 60°, H2O added, and the ppt. crystd. from EtOH gave 4 g. 4-Me2N deriv. (XXI), m. 145°. XXI was also obtained similarly from XVII and MeOH-Me2NH. Similarly were prepd.: 2,4,6,5-(H2N)(Me2N)(MeHN)(p-ClC6H4N2)-Z, m. 192°, and 2,4,6,5-(MeHN)3(p-ClC6H4N2)-Z, m. 155°. 2,4,6,5-(H2N)2(MeHN)(p-ClC6H4N2)-Z (5 g.) in 75 cc. EtOH reduced by H over Raney Ni (initial pressure 47 atm.) at 90-5° 5 hrs., the mixt. acidified with 4 cc. AcOH, filtered through Hyflo Supercel, the residue washed with H2O, the combined filtrate and washings evapd. to dryness in vacuo under N, the residue triturated with Et2O, dissolved in 10 cc. H2O, acidified to Congo red with H2SO4, EtOH added, and the ppt. crystd. from H2O gave 2,4,5,6-(H2N)3(MeHN)-Z sulfate (XXII). No satisfactory analytical results
were obtained for 2,5,6,4-(H2N)2(Et2N)(Me2N)-Z oxalate, m. 221° (decompn.), but it condensed normally with benzil to the pteridine. The following XC:N.C(NH2):C(NH2).CY:N were prepd. (X, Y, m.p., crystn. solvent, % yield given): NH2, NHMe, 250° (decompn.), H2O, 89; NH2, NMe2, 209°, aq. EtOH, 48; NHMe, NH2, 255° (decompn.), H2O, 75; NHMe, NHMe, 259°, aq. EtOH, 80; NHMe, NMe2, 193°, aq. EtOH, 65; NHEt, NHMe, 293° (decompn.), aq. EtOH, 49; NMe2, NH2, 314° (decompn.), H2O, 58; NMe2, NHMe, 273° (decompn.), H2O, 64; NMe2, NMe2, 182° (decompn.), EtOH, 38; NMe2, piperidino, 208° (decompn.), aq. EtOH, 33; NMe2, morpholino, 194° (decompn.), aq. EtOH, 57. H2NCH2CH(OEt)2 (15 g.) and 17.5 g. 6,4,2,5-Cl(MeHN)-(Me2N)(p-ClC6H4N2)-Z refluxed 24 hrs. in dioxane, the soln. evapd. to dryness, the residue (10 g.) triturated with EtOH, filtered off, and crystd. from petr. ether gave 5-p-chlorophenylazo-2-dimethylamino-4-methylamino-6-pyrimidylaminoacetaldehyde di-Et acetal, m. 95°. PhCH(NH2)CH(OMe)2 (XXIII) (11 g.) and XVII in 205 cc. dioxane refluxed 4 hrs., the solvent removed, and the product (1.9 g.) crystd. from BuOH gave α-[5-p-chlorophenylazo-2,4-bis(dimethylamino)-6-pyrimidyl]amino-α-phenylacetaldehyde di-Me acetal, m. 151°. Similarly was prepd. from XV α-(5-p-chlorophenylazo-2-dimethylamino-4-hydroxy-6-pyrimidyl)-amino-α-phenylacetaldehyde di-Me acetal (XXIIIa), m. 242° (from BuOH). H2NCH2C(:NNHCONH2)Me.HCl (11 g.) stirred 2 hrs. with cold NaOEt (from 1.5 g. Na in 60 cc. EtOH), 9.3 g. XV

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in 140 cc. XIX added, stirring continued 15 hrs., the semicarbazone, m. 243°, collected, washed with H2O and EtOH, dissolved in 25 cc. AcOH and 150 cc. 2N aq. HCl, the soln. kept overnight, filtered, the filtrate evapd. to dryness, and the residue (6.6 g.) crystd. from EtOH gave 5-p-chlorophenylazo-2-dimethylamino-4-hydroxy-6-pyrimidylaminoacetone HCl salt, m. 217°. The following compds. were prepd. similarly: ω-(5-p-chlorophenylazo-2-dimethylamino-4-hydroxy-6-pyrimidyl)aminoacetophenone (XXIV) HCl salt monohydrate, m. 229° (from EtOH) [XXIV semicarbazone, m. 263° (decompn.) (from XIX-EtOH)]; 4-chloro-ω-(5-p-chlorophenylazo-4-hydroxy-2-methylamino-6-pyrimidyl)aminoacetophenone (XXIVa), m. 258° (decompn.) [semicarbazone, m. 264° (from XIX)]; 4'-Cl deriv. of XXIV, m. 244° (decompn.) (from XIX-EtOH) [semicarbazone, m. 255° (decompn.) (from XIX-EtOH)]. IX (17.5 g.) and 60 cc. 2.5M alc. Me2NH refluxed 3 hrs., cooled, the solid (17 g.) collected, dissolved in 200 cc.
AcOH together with 19 g. XVI, a soln. of XIII (from 6 g. XIV) added, after
stirring 4 days the resulting ppt. collected, washed with H2O and EtOH, and crystd. from BuOH gave 10 g. α-(4-chloro-5-p-chlorophenylazo - 2 - dimethylamino-6-pyrimidyl)aminodeoxybenzoin (XXV), m. 254° (decompn.). XXV (10 g.) refluxed 20 hrs. with 340 cc. 2.5M alc. Me2NH gave 5.5 g. 4-Me2N deriv., m. 179° (from EtOH). The following compds. were prepd. similarly: ω-(p-chlorophenyl)-ω-(4-chloro-5-p-chlorophenylazo-2-dimethylamino-6-pyrimidyl)aminoacetophenone, m. 248° (decompn.) (from BuOH), and ω-(p-chlorophenyl)-ω-(5-p-chlorophenylazo-2-dimethylamino-6-pyrimidyl)aminoacetophenone, m. 196° (from BuOH). 4-ClC6H4COCH(NH2)Ph.HCl (14.1 g.) dissolved in 800 cc. H2O, made alk. with aq. NH3, the base collected, dried over P2O5, added to 7.8 g. XV in 400 cc. XIX, the mixt. stirred 24 hrs. at room temp., the solid collected, and crystd. from XIX-EtOH gave 7 g. 4-chloro-ω-(5-p-chlorophenylazo-2-dimethylamino-4-hydroxy-6-pyrimidyl)amino-ω-phenylacetophenone, m. 239°. To 5.6 g. H2NCH2CO2Et was added 5.5 g. IX in 150 cc. dioxane, the whole refluxed 8 hrs., cooled, filtered, the filtrate dild. with H2O, the ppt. collected, crystd. from EtOAc-petr. ether, and recrystd. from EtOH to give 2 g. Et (4-amino-5-p-chlorophenylazo-2-dimethylamino-6-pyrimidyl)aminoacetate, m. 139°. (For addnl. compds. of this type, cf. Brit. 763,043). Similarly was prepd. Et
(5-p-chlorophenylazo-2-dimethylamino-4-hydroxy-6-pyrimidyl)-aminoacetate, m. 218°. A soln. (17 cc. 0.01 M) of XIII added to 2.5 g. XII in 160 cc. 50% AcOH contg. 10 g. XVI, the whole stirred 12 hrs., the ppt. collected, and crystd. from BuOH gave 2 g. Et (4-chloro-5-p-chlorophenylazo-2-methylamino-6-pyrimidyl)aminoacetate, m. 218°. Similarly was prepd. Et (4-chloro-5-p-chlorophenylazo-2-dimethylamino-6-pyrimidyl)aminoacetate, m. 214° (from dioxane). ω-(5-p-Chlorophenylazo-2-dimethylamino-4-hydroxy-6-pyrimidyl)-aminoacetophenone (1.2 g.) in 60 cc. AcOH treated at the b.p. with 1.1 g. Zn dust in an N atm., the mixt. heated 1 hr. more, filtered hot, the filtrate evapd. in vacuo, the residual oil triturated with Et2O, filtered,
the residue washed with Et2O, dissolved in dil. HCl, the soln. evapd. in vacuo, the residue triturated with EtOAc, collected, dissolved in H2O, the
soln. made alk. with aq. NH3, and the product (0.1 g.) crystd. from EtOH gave 2-dimethylamino-7,8-dihydro-4-hydroxy-6-phenyl-Y-0.5 H2O (XXVI), m. 311°, λ 270 mμ (E1cm.1% 750 in N HCl). Similarly were prepd. the following compds.: 2,4-bis(dimethylamino)-7,8-dihydro-6,7-diphenyl-Y, m. 278°; 7-p-chlorophenyl-2-dimethylamino-6,7-dihydro-4-

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methylamino-6-phenyl-Y, m. 267-9° (not analytically pure); 6-p-chlorophenyl-2-dimethylamino-7,8-dihydro-4-hydroxy-7-phenyl-Y HCl salt, m. 346°. XXIVa (2.95 g.) in 300 cc. XIX shaken in H (initial pressure 2 atm.) 2 hrs. with 5 g. Raney Ni, the catalyst and XIX removed, the residue triturated with Et2O, the solid collected, and recrystd. from aq. XIX gave 1.8 g.
6-p-chlorophenyl-2-dimethylamino-7,8-dihydro-4-hydroxy-Y, m. 370°. XXIIIa (5 g.) treated with 10 cc. concd. HCl in 100 cc. AcOH, after 1 hr. at room temp. H2O added, the ppt. collected, reduced
with H over Raney Ni, the catalyst and solvent removed, the oily residue mixed with 10 cc. AcOH, triturated twice with Et2O, the remaining oil dissolved in 2N HCl, the resulting solid suspended in H2O, treated with dil. aq. NH3 until the mixt. was just alk. to Brilliant Yellow, the ppt. (2.3 g.) collected, and crystd. from aq. XIX gave 7,4,2-Ph(HO)(Me2N)-Y, m.
326° (decompn.), λ 355 mμ (E1cm.1% 800, in N HCl).
6,4,5,2-HO(H2N)2(Me2N)-Z sulfate (XXVII) (10.7 g.), 6.1 g. PhCOCHO.H2O, 27
g. XVI, and 400 cc. 50% aq. EtOH refluxed 15 min., the mixt. cooled, the solid collected, and crystd. from EtOH gave 7.5 g. 6,4,2,5-HO(H2N)(Me2N)(PhCOCH:N)-Z, m. 267° (decompn.). Me 3-amino-5,6-diphenylpyrazine-2-carboxylate (1 g.) heated 16 hrs. at 160° with 10 g. MeNH2 in 55 cc. EtOH gave 0.5 g. 2-amino-3-N-methylcarbamoyl-5,6-diphenylpyrazine, 197-8° (from EtOH). 2,4-Disubstituted pteridines were prepd. by the following methods (for addnl. compds., cf. Brit. 763,044, C.A. 51, 13944a): (1) To 0.2 g. XXVI in 50 cc. 0.5N NaOH was added 0.1 g. KMnO4 in 15 cc. H2O with stirring over 15 min., after a further 1.5 hrs. EtOH added, MnO2 filtered off, washed with H2O, the filtrate and washings concd. to about 50 cc., acidified to Congo red with HCl, neutralized with aq. NH3, and the product
crystd. from EtOH gave 6,4,2-Ph(HO)(Me2N)-Y (XXIX), m. 322° (decompn.), λ 280 (E1cm.1% 910), 355 mμ (E1cm.1% 395). (2a) 4,5,2,6-(H2N)2(Me2N)2-Z sulfate (2.94 g.), 6.8 g. XVI, 1.5 g. XXVIII, and 50% aq. EtOH-refluxed 15 min., the soln. cooled, the solid collected, dissolved in 2N AcOH, the soln. treated with C, filtered, the filtrate made alk. with aq. NH3, and the ppt. crystd. from BuOH and then from EtOH gave 7,2,4-Ph(Me2N)2-Y, m. 191°. (2b) XXVII (7.43 g.), 250 cc. 6N H2SO4, 3.7 g. XXVIII, and 250 cc. EtOH refluxed 2 hrs., EtOH removed in vacuo, the residual soln. cooled in ice, made alk. with aq. NH3, filtered,
the filtrate acidified to litmus with dil. AcOH, and the ppt. crystd. from
XIX-EtOH gave 6,4,2-Ph(HO)(Me2N)-Y, m. 332°. (2c) XXII (10.8 g.), 14.8 g. benzil, 24 g. XVI, 400 cc. EtOH, and 100 cc. H2O refluxed 5 hrs., the mixt. cooled, the ppt. collected, extd. with 0.5N HCl, and the ext. basified with aq. NH3 gave 6,7,2,4-Ph2(H2N)(Me2N)-Y (XXX), m. 272° (from EtOH). (3) 6,7,4,2-Ph2(HO)(H2N)-Y (XXXI) (2 g.) and 120 cc. redistd.
POCl3 refluxed 2 hrs., excess POCl3 removed in vacuo, the residue heated 1
hr. with 100 cc. 2.5 M alc. MeNH2, the alc. removed, the solid extd. with 0.5N HCl, and the ext. basified with aq. NH3 and crystd. from EtOH gave XXX, m. 272°. In a similar series of reactions, XXIX yielded 6,2,4-Ph(Me2N)2-Y, m. 190°, and 6,4,2-Ph(EtO)(Me2N)-Y, m. 200° (from EtOH). By using the conditions of Cain, et al. (C.A. 43, 4268e), there was obtained from XXXI a product (XXXII), m. 253-9°. XXXII extd. with 1.5N AcOH left 2-amino-3-N-

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methylcarbamoyl-5,6-diphenylpyrazine, m. 197-8°; the ext. basified with aq. NH3 and the ppt. crystd. from EtOH gave 6,7,2,4-Ph2(Me2N)2-V (XXXIII), m. 266-7°, undepressed with material obtained by condensing 4,5,2,6-(H2N)2(MeHN)2-Z with benzil. 6,7,2,4-Ph2(HS)(H2N)-Y (XXXIV) treated with alc. MeNH2 under the conditions described by Taylor and Cain (C.A. 47, 137h) also gave XXXIII. XXXIV and alc. Me2NH similarly
treated gave a product (XXXV), m. 186-215°.
XXXV triturated with cold 0.5N AcOH left a residue which, when repeatedly crystd. from MeOH, m. 211°, undepressed with authentic 6,7,2,4-Ph2(Me2N)2-Y obtained by condensing 4,5,2,6-(H2N)2-(Me2N)2-Z with benzil; the acid ext. basified with aq. NH3, and the ppt. crystd. from BuOH gave 6,7,4,2-Ph2(H2N)(Me2N)-Y, m. 236°, undepressed with material obtained by condensing 4,5,6,2-(H2N)3(Me2N)-Z with benzil (4) 7,2,4-Ph(MeHN)2-Y (0.3 g.) and 50 cc. N HCl refluxed 20 hrs., the soln. cooled to 50°, made faintly alk. to Brilliant Yellow with aq. NH3, the ppt. collected, washed with H2O, dried, and crystd. from XIX gave 7,4,2-Ph(HO)(MeHN)-Y, m. 387° (decompn.), undepressed with material prepd. by 2a, λ 250 mμ (E1cm.1% 700). The following substituted pteridines, N:CX.N:CY.C:C.N:CR.CR':N, were prepd. (X, Y, R, R', m.p., crystn. solvent, method of prepn., % yield given): NH2, NHMe, H, H, 248° H2O, 2c, 26; NH2, NHMe, Ph, Ph, 272°, EtOH, 2c and 3, 73.5; NH2, NMe2, Ph, Ph, 322° (decompn.), XIX, 2c, 63; NH2, NH(CH2)3-NEt2, Ph, Ph, 201°, EtOH, 2c, 50; NHMe, OH, Ph, H, 356° (decompn.) [λ 280 mμ (E1cm.1% 966), 350 mμ (E1cm.1% 566)], XIX, 2b, 75; NHMe, OH, H, Ph, 387° (decompn.), XIX, 2a and 4, 80 and 52; NHMe, OH, p-ClC6H4, H, 370° (decompn.), XIX-EtOH, 1 and 2b, 50 and 26; NHMe, OH, H, p-ClC6H4, 363° (decompn.), XIX, 2a and 4, 65 and 80; NHMe, OH, Ph, Ph, 365° (decompn.), XIX, 4, 80; NHMe, NH2, H, H, 242°, H2O, 2c, 72; NHMe, NH2, Me, Me, 281°, EtOH, 2c, 51; NHMe, NH2, Ph, Ph, 307°, XIX, 2c, 75; NHMe, NHMe, H, H, 214°, EtOH, 2c, 50; NHMe, NHMe, Me, Me, 266°, EtOH, 2c, 28; NHMe, NHMe, Ph, H, 264°, XIX, 3, 32; NHMe, NHMe, H, Ph, 256° [λ 365 mμ (E1cm.1% 950)], MeOH, 2b, 30; NHMe, NHMe, H, p-ClC6H4,294° [λ 365 mμ (E1cm.1% 925)], XIX, 2b, 25; NHMe, NHMe, Ph, Ph, 262°, XIX-EtOH, 2c, 49; NHMe, NHMe, o-ClC6H4, o-ClC6H4, 265°, BuOH, 2c, 22; NHMe, NHMe, m-ClC6H4, m-ClC6H4, 256°, MeOH, 2c, 31; NHMe, NHMe, p-ClC6H4, p-ClC6H4, 323° XIX, 2c, 63; NHMe, NHMe, p-MeOC6H4, p-MeOC6H4, 259°, EtOH, 2c, 24; NHMe, NHMe, 3,4-CH2O2C6H3, 3,4-CH2O2C6H3, 297°, XIX-EtOH, 2c, 28; NHMe, NHMe, R and R' = 9,10-phenanthrylene, 311°, XIX, 2c, 66; NHMe, NHMe, R and R' = 7,8-acenaphthylene, 307°, XIX, 2c, 40; NHMe, NHMe, 2-furyl, 2-furyl, 218°, EtOAc, 2c, 24; NHMe, NHMe, R and R' = 2,3-indolo, 338°, XIX, 2c, 75; NHMe, NMe2, Ph, Ph, 306°, XIX, 2c, 60; NHEt, NHMe, Ph, Ph, 249°, EtOH, 2c, 21; NMe2, OH, ph, H, 336° (decompn.), EtOH, 1, 2a, and 4, 15 and 90; NMe2, OH, H, Ph, 325° (decompn.), XIX-EtOH, 1, 2b, and 4, 65, 90, and 90; NMe2, OH, p-ClC6H4, H, 377° (decompn.), XIX-EtOH, 1, 85; NMe2, OH, Ph, Ph, 361°, XIX-EtOH, 2c, 33; NMe2, OH, p-ClC6H4, Ph, 350°, BuOH, 1, 85; NMe2, OEt, Ph, H, 200°, MeOH, EtOH on 4-Cl compd., 30; NMe2, NH2, Ph, Ph, 239°, BuOH, 2c, 63; NMe2, NHMe, Ph, Ph, 205°, EtOAc, 2c, 43; NMe2, NHMe, Ph, p-ClC6H4, 239° EtOH, 1, 70; NMe2, NMe2, iso-Pr, iso-Pr, 150°, aq. EtOH, 2c, 30; NMe2, NMe2, Ph, H, 188°, EtOH, 2a and 3, 29 and 40; NMe2, NMe2, H, Ph, 191°, EtOH, 2b and 3,

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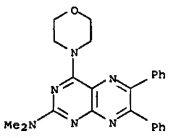

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37 and 80; NMe2, NMe2, Ph, Ph, 211°, EtOAc, 2c, 55; NMe2, piperidino, Ph, Ph, 207°, aq. EtOH, 2c, 75; NMe2, morpholino, Ph, Ph, 216°, EtOH, 2c, 71. To a soln. of PhCH:CHOAc in 290 cc. CCl4 was added 39 cc. Br in 40 cc. CCl4 with stirring below 10° during 1.5 hrs., 290 cc. MeOH added, stirring continued 12 hrs. more below 10°, after a further 48 hrs. the mixt. poured into ice H2O, the sepd. oil collected, washed with 5% aq. NaHCO3, dried, and distd. in the presence of a little Na2CO3 to give 122 g. PhCHBrCH(OMe)2 (XXVI), b14 138-40°. XXVI (122 g.), 183 g. PhCH2NH2, and a trace of NaI heated 1 hr. at 140°, when the reaction had moderated heating continued 2 hrs., the mixt. cooled, poured into H2O, the product extd. with Et2O, the ext. dried, and rectified gave 89 g. PhCH(CH2Ph) CH(OMe)2 (XXXVII), b0.2 121-48°. XXXVII hydrogenated in 300 cc. MeOH over 25 g. 5% Pd-C at 100-5° with an initial pressure of 95 atm., the catalyst removed, and the filtrate rectified gave 47 g. XXIII, b18, 134-6°. BzCH2NH2.HCl (56 g.) dissolved in 350 cc. EtOH with gentle warming, the soln. cooled rapidly to room temp., 25 g. NH2NHCONH2 added, the mixt. set aside several hrs., the crystals filtered off, and crystd. from EtOH gave the semicarbazone, m. 107-8°. To 28 g. 4-ClC6H4CH2Bz in 50 cc. dry Et2O satd. with HCl at 0° was added 7.5 g. BuNO2 in 50 cc. Et2O, the ppt. collected, and crystd. from aq. MeOH giving the hydroxyimino compd. (XXXVIII), m. 121-3°. XXXVIII reduced at room temp. and pressure in 350 cc. EtOH contg. 12 cc. concd. HCl over Pd-C, the catalyst and solvent removed, and the product (6 g.) crystd. from 2N HCl and then from MeOH-Et2O gave X, m. 248° (decompn.).

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